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* * * * * Welcome to STN International * * * * *

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NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 FEB 28 PATDPAFULL - New display fields provide for legal status
data from INPADOC
NEWS 4 FEB 28 BABS - Current-awareness alerts (SDIs) available
NEWS 5 MAR 02 GBFULL: New full-text patent database on STN
NEWS 6 MAR 03 REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 8 MAR 22 KOREAPAT now updated monthly; patent information enhanced
NEWS 9 MAR 22 Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS 10 MAR 22 PATDPASPC - New patent database available
NEWS 11 MAR 22 REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS 12 APR 04 EPFULL enhanced with additional patent information and new
fields
NEWS 13 APR 04 EMBASE - Database reloaded and enhanced
NEWS 14 APR 18 New CAS Information Use Policies available online
NEWS 15 APR 25 Patent searching, including current-awareness alerts (SDIs),
based on application date in CA/CAPLUS and USPATFULL/USPAT2
may be affected by a change in filing date for U.S.
applications.
NEWS 16 APR 28 Improved searching of U.S. Patent Classifications for
U.S. patent records in CA/CAPLUS
NEWS 17 MAY 23 GBFULL enhanced with patent drawing images
NEWS 18 MAY 23 REGISTRY has been enhanced with source information from
CHEMCATS
NEWS 19 JUN 06 STN Patent Forums to be held in June 2005
NEWS 20 JUN 06 The Analysis Edition of STN Express with Discover!
(Version 8.0 for Windows) now available
NEWS 21 JUN 13 RUSSIAPAT: New full-text patent database on STN
NEWS 22 JUN 13 FRFULL enhanced with patent drawing images
NEWS 23 JUN 20 MEDICONF to be removed from STN
NEWS 24 JUN 27 MARPAT displays enhanced with expanded G-group definitions
and text labels
NEWS 25 JUL 01 MEDICONF removed from STN
NEWS 26 JUL 07 STN Patent Forums to be held in July 2005

NEWS EXPRESS JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items

07/10/2005 10626299.trn

NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

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=>

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THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

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Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 10:24:57 ON 10 JUL 2005

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 8 JUL 2005 HIGHEST RN 854301-22-7

DICTIONARY FILE UPDATES: 8 JUL 2005 HIGHEST RN 854301-22-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

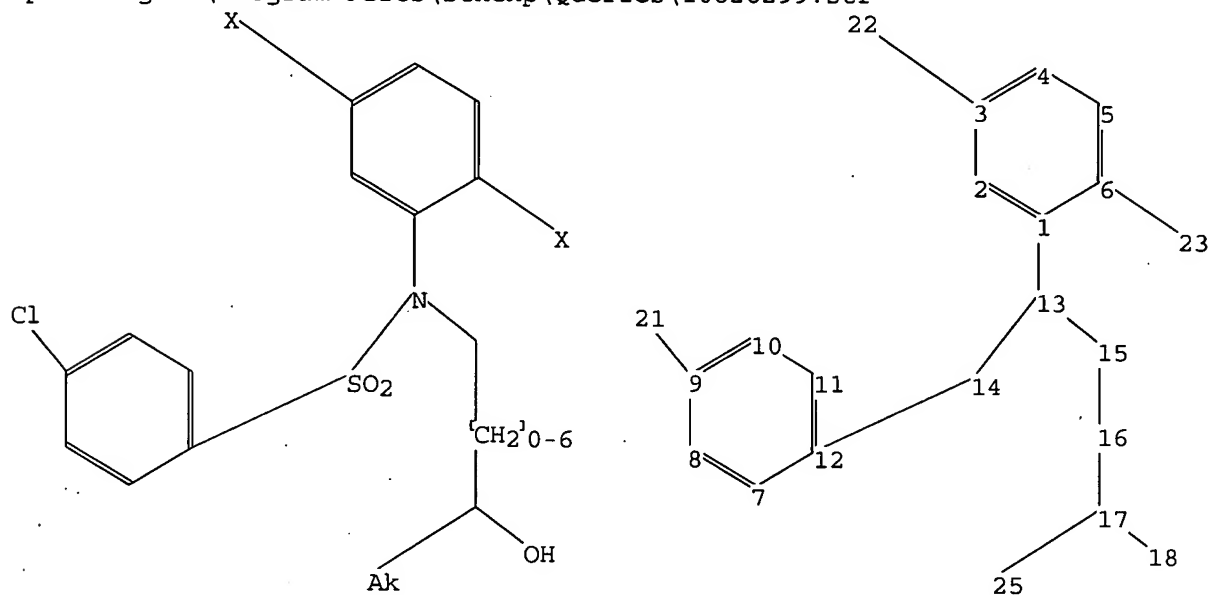
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10626299.str



chain nodes :

13 14 15 16 17 18 21 22 23 25

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12

chain bonds :

1-13 3-22 6-23 9-21 12-14 13-14 13-15 15-16 16-17 17-18 17-25

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

exact/norm bonds :

1-13 13-14 13-15 17-18 17-25

exact bonds :

3-22 6-23 9-21 12-14 15-16 16-17

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

isolated ring systems :

containing 1 : 7 :

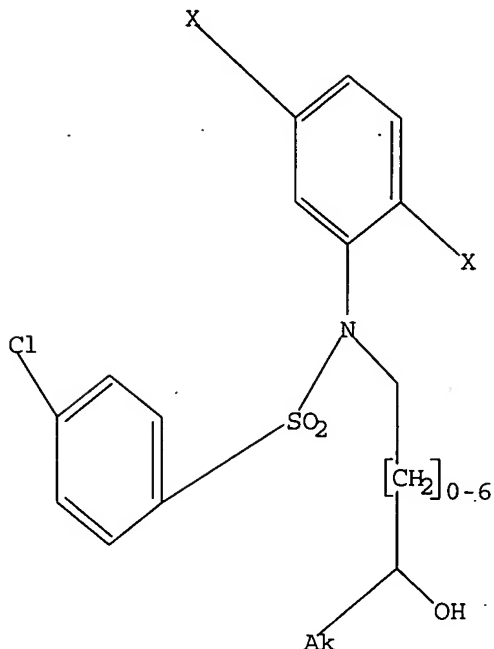
Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
21:CLASS 22:CLASS 23:CLASS 25:CLASS

L1 STRUCTURE UPLOADED

07/10/2005 10626299.trn

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 10:25:14 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 59 TO ITERATE

100.0% PROCESSED 59 ITERATIONS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 720 TO 1640
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 10:25:20 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1358 TO ITERATE

100.0% PROCESSED 1358 ITERATIONS
SEARCH TIME: 00.00.01

L3 30 SEA SSS FUL L1

=> FIL CAPLUS
COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION

07/10/2005 10626299.trn

FULL ESTIMATED COST

161.33 161.54

FILE 'CAPLUS' ENTERED AT 10:25:26 ON 10 JUL 2005
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FILE COVERS 1907 - 10 Jul 2005 VOL 143 ISS 3
FILE LAST UPDATED: 8 Jul 2005 (20050708/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4

1 L3

=> d l4 ibib-abs hitstr tot

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:414644 CAPLUS

DOCUMENT NUMBER: 140:423476

TITLE: Preparation of anti-amyloid N-alkanol derivatives of phenylsulfonamides as inhibitors of β -amyloid peptide (β -AP) production

INVENTOR(S): Smith, David W.; Parker, Michael F.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 15 pp.

CODEN: USXXCO

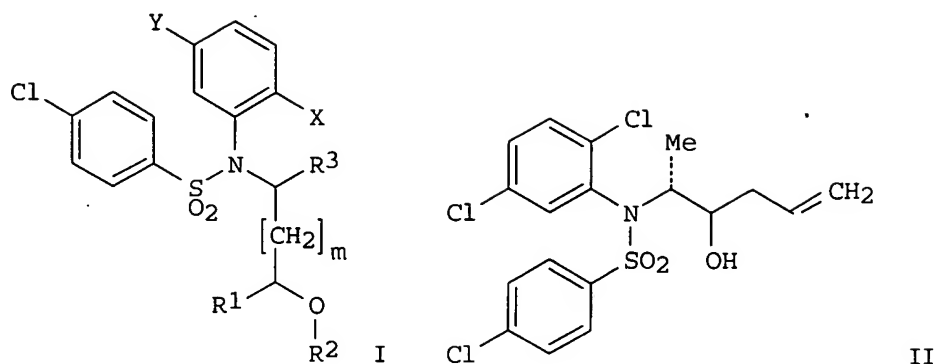
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004097572	A1	20040520	US 2003-626299	20030724
PRIORITY APPLN. INFO.:			US 2002-400241P	P 20020801
OTHER SOURCE(S):	MARPAT	140:423476		
GI				



AB The title compds. [I; X, Y = halo, hydroxymethyl, acetoxymethyl; R1 = alkyl, cycloalkyl, etc.; R2 = H, alkylcarbonyl, cycloalkylcarbonyl, etc.; R3 = H, alkyl; m = 1-6] which are inhibitors of β -amyloid peptide (β -AP) production and are useful in the treatment of Alzheimer's Disease and other conditions characterized by aberrant extracellular deposition of amyloid, were prepared. Thus, reacting allylmagnesium bromide with 4-chloro-N-(2,5-dichlorophenyl)-N-(1R) (1-methyl-2-oxoethyl)benzenesulfonamide afforded two isomers of II. The compds. I showed IC₅₀ of <5 μ M in the assay for inhibition of β -amyloid peptide. The pharmaceutical compns. and methods of treatment are also disclosed.

IT 691907-17-2P 691907-18-3P 691907-19-4P
 691907-20-7P 691907-22-9P 691907-23-0P
 691907-24-1P 691907-26-3P 691907-28-5P
 691907-30-9P 691907-58-1P 691907-70-7P
 691907-77-4P 691907-85-4P 691907-92-3P
 691907-99-0P 691908-05-1P 691908-10-8P
 691908-17-5P 691908-24-4P 691908-31-3P
 691908-43-7P 691908-49-3P 691908-68-6P
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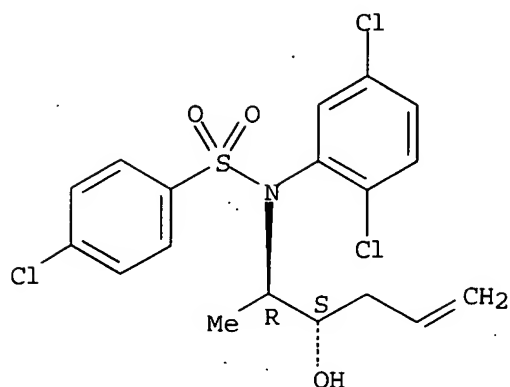
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of antiamyloid N-(hydroxyalkyl) benzenesulfonamides as inhibitors of β -amyloid peptide (β -AP) production)

RN 691907-17-2 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R,2S)-2-hydroxy-1-methyl-4-pentenyl]- (9CI) (CA INDEX NAME)

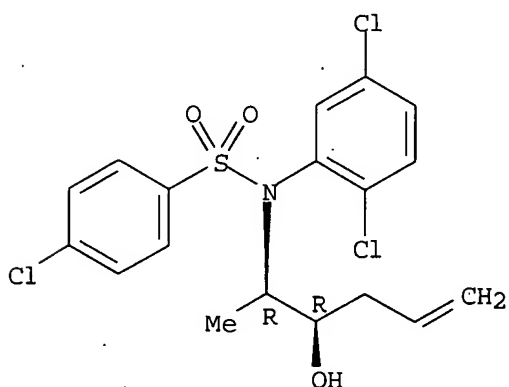
Absolute stereochemistry.



RN 691907-18-3 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R,2R)-2-hydroxy-1-methyl-4-pentenyl]- (9CI) (CA INDEX NAME)

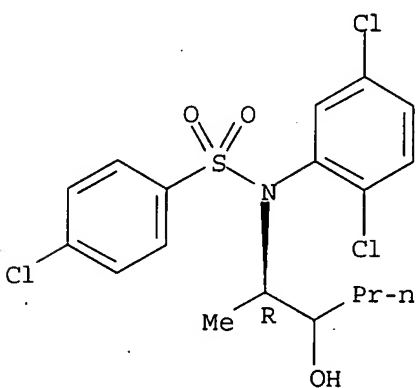
Absolute stereochemistry.



RN 691907-19-4 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R)-2-hydroxy-1-methylpentenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

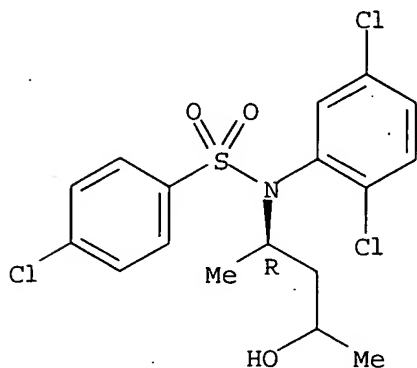


RN 691907-20-7 CAPLUS

07/10/2005 10626299.trn

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R)-3-hydroxy-1-methylbutyl]- (9CI) (CA INDEX NAME)

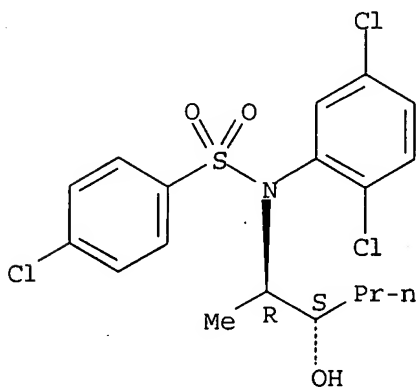
Absolute stereochemistry.



RN 691907-22-9 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R,2S)-2-hydroxy-1-methylpentyl]- (9CI) (CA INDEX NAME)

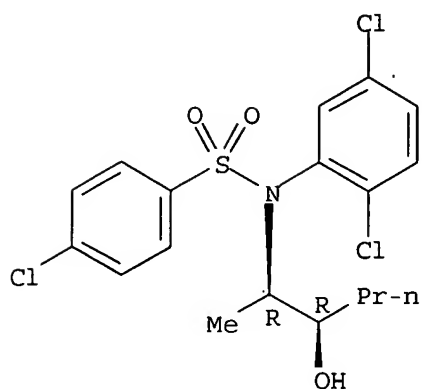
Absolute stereochemistry.



RN 691907-23-0 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R,2R)-2-hydroxy-1-methylpentyl]- (9CI) (CA INDEX NAME)

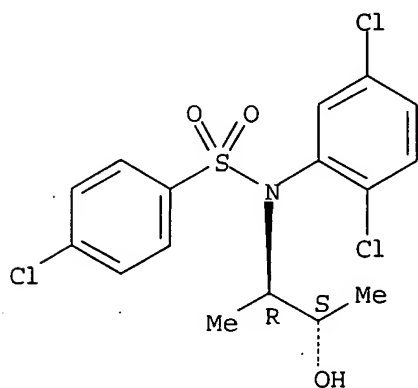
Absolute stereochemistry.



RN 691907-24-1 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R,2S)-2-hydroxy-1-methylpropyl]- (9CI) (CA INDEX NAME)

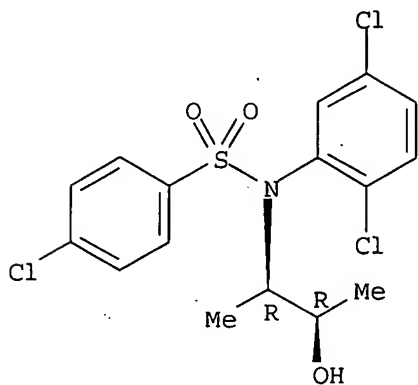
Absolute stereochemistry.



RN 691907-26-3 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R,2R)-2-hydroxy-1-methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

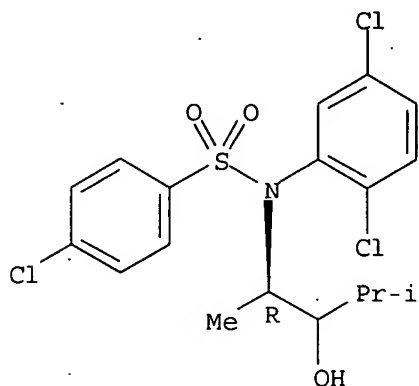


RN 691907-28-5 CAPLUS

07/10/2005 10626299.trn

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R)-2-hydroxy-1,3-dimethylbutyl]- (9CI) (CA INDEX NAME)

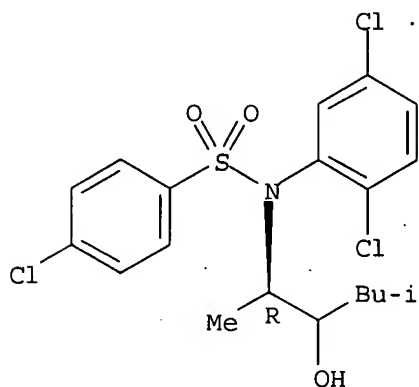
Absolute stereochemistry.



RN 691907-30-9 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R)-2-hydroxy-1,4-dimethylpentyl]- (9CI) (CA INDEX NAME)

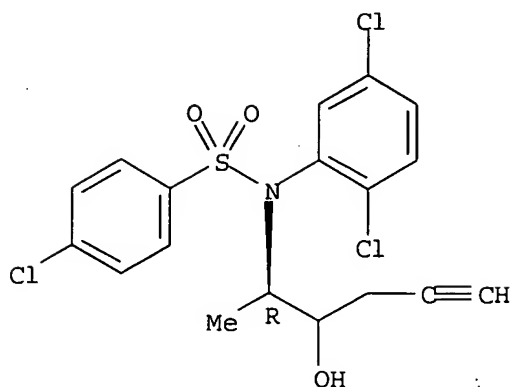
Absolute stereochemistry.



RN 691907-58-1 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R)-2-hydroxy-1-methyl-4-pentynyl]- (9CI) (CA INDEX NAME)

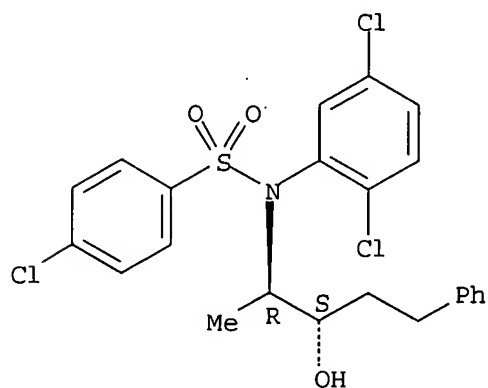
Absolute stereochemistry.



RN 691907-70-7 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R,2S)-2-hydroxy-1-methyl-4-phenylbutyl]- (9CI) (CA INDEX NAME)

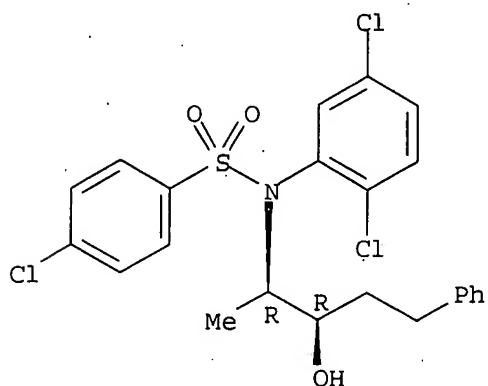
Absolute stereochemistry.



RN 691907-77-4 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R,2R)-2-hydroxy-1-methyl-4-phenylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

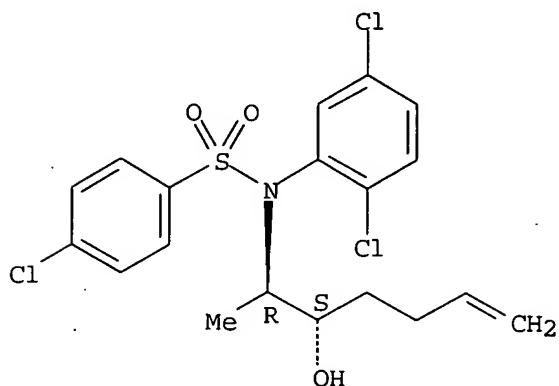


RN 691907-85-4 CAPLUS

07/10/2005 10626299.trn

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R,2S)-2-hydroxy-1-methyl-5-hexenyl]- (9CI) (CA INDEX NAME)

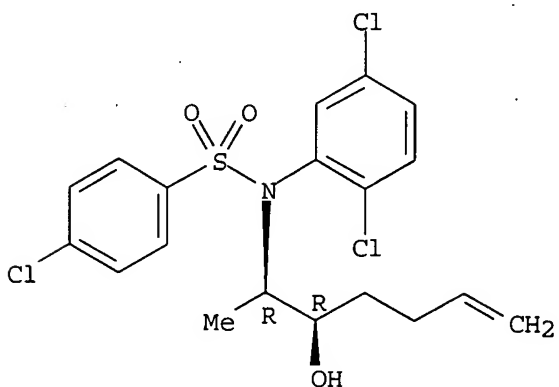
Absolute stereochemistry.



RN 691907-92-3 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R,2R)-2-hydroxy-1-methyl-5-hexenyl]- (9CI) (CA INDEX NAME)

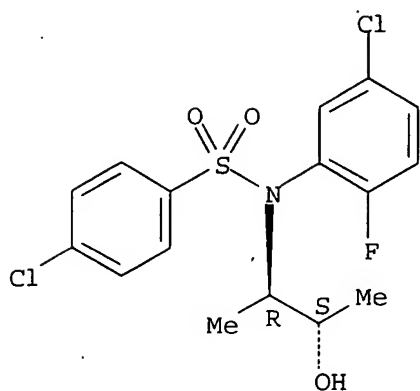
Absolute stereochemistry.



RN 691907-99-0 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-fluorophenyl)-N-[(1R,2S)-2-hydroxy-1-methylpropyl]- (9CI) (CA INDEX NAME)

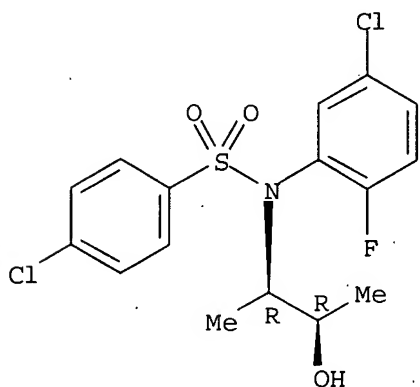
Absolute stereochemistry.



RN 691908-05-1 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-fluorophenyl)-N-[(1R,2R)-2-hydroxy-1-methylpropyl]- (9CI) (CA INDEX NAME)

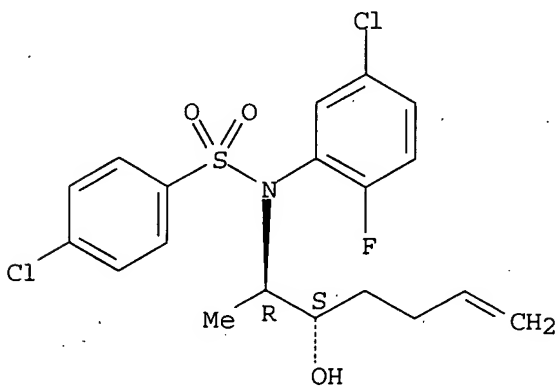
Absolute stereochemistry.



RN 691908-10-8 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-fluorophenyl)-N-[(1R,2S)-2-hydroxy-1-methyl-5-hexenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

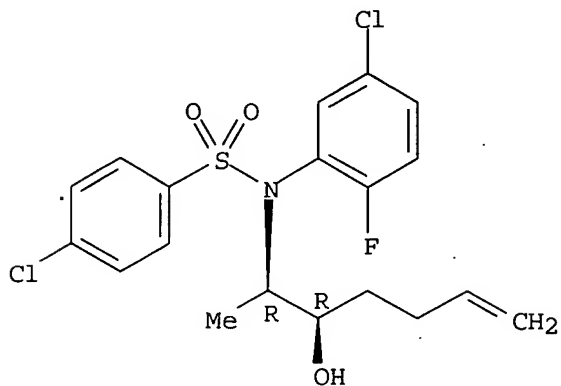


RN 691908-17-5 CAPLUS

07/10/2005 10626299.trn

CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-fluorophenyl)-N-[(1R,2R)-2-hydroxy-1-methyl-5-hexenyl]- (9CI) (CA INDEX NAME)

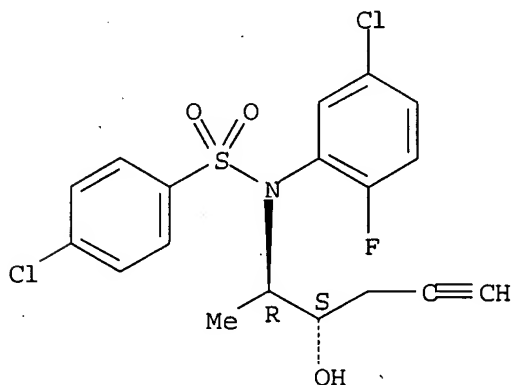
Absolute stereochemistry.



RN 691908-24-4 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-fluorophenyl)-N-[(1R,2S)-2-hydroxy-1-methyl-4-pentynyl]- (9CI) (CA INDEX NAME)

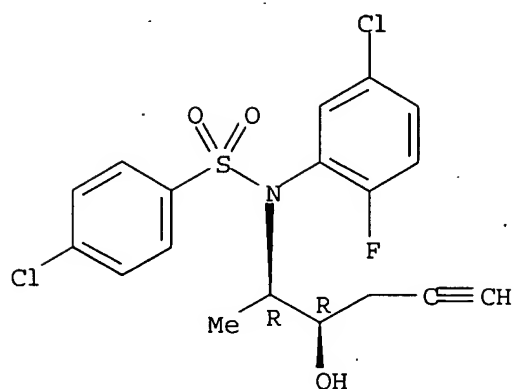
Absolute stereochemistry.



RN 691908-31-3 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-fluorophenyl)-N-[(1R,2R)-2-hydroxy-1-methyl-4-pentynyl]- (9CI) (CA INDEX NAME)

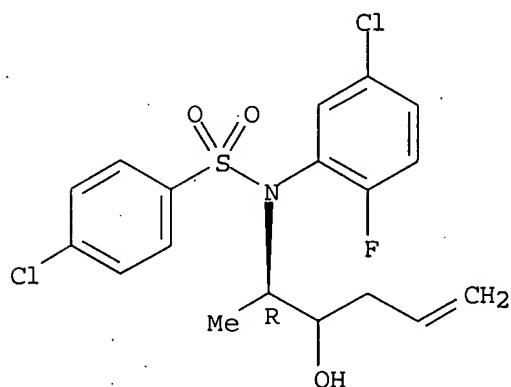
Absolute stereochemistry.



RN 691908-43-7 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-fluorophenyl)-N-[(1R)-2-hydroxy-1-methyl-4-pentenyl]- (9CI) (CA INDEX NAME)

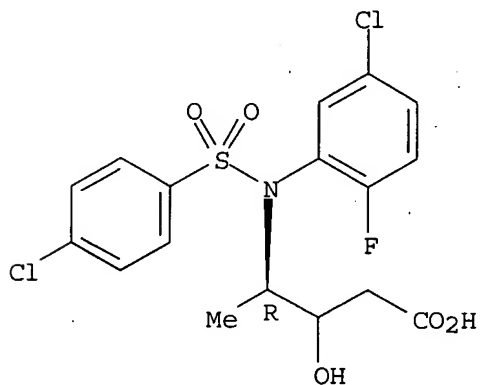
Absolute stereochemistry.



RN 691908-49-3 CAPLUS

CN D-glycero-Pentonic acid, 4-[(5-chloro-2-fluorophenyl)[(4-chlorophenyl)sulfonyl]amino]-2,4,5-trideoxy-, (3ξ)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

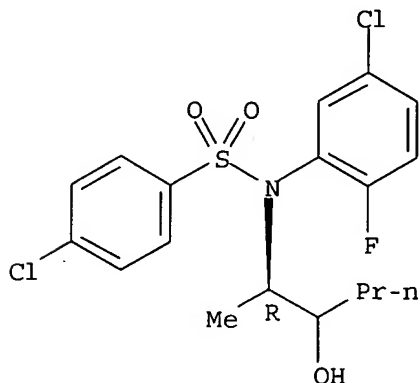


07/10/2005 10626299.trn

RN 691908-68-6 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-fluorophenyl)-N-[(1R)-2-hydroxy-1-methylpentyl]- (9CI) (CA INDEX NAME)

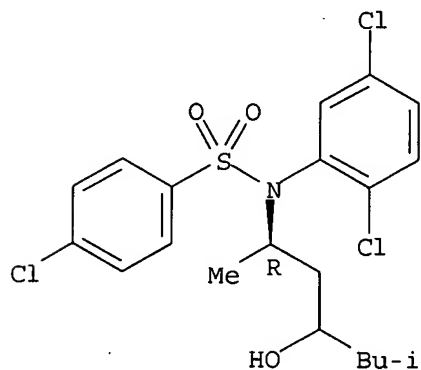
Absolute stereochemistry.



RN 691908-76-6 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R)-3-hydroxy-1,5-dimethylhexyl]- (9CI) (CA INDEX NAME)

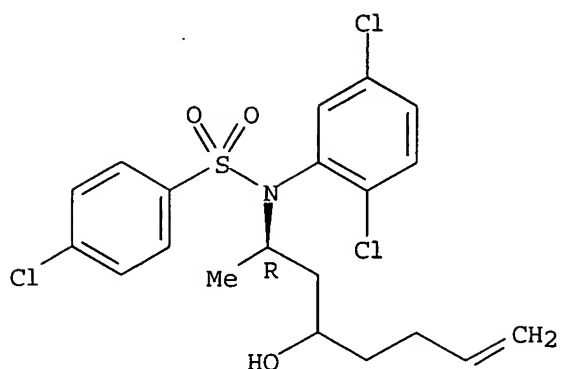
Absolute stereochemistry.



RN 691908-83-5 CAPLUS

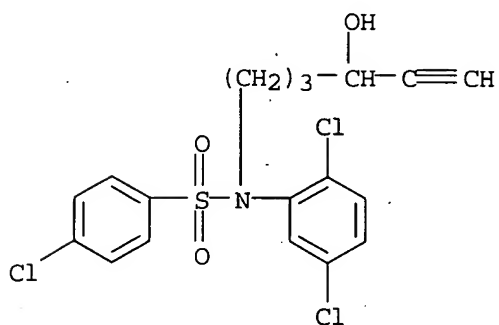
CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R)-3-hydroxy-1-methyl-6-heptenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



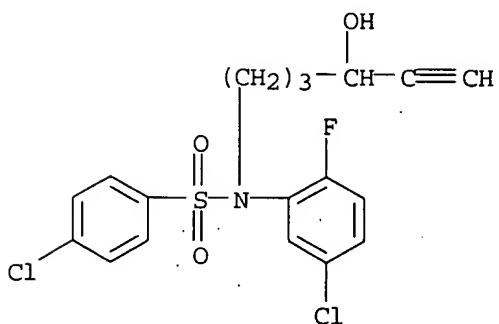
RN 691909-34-9 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-(4-hydroxy-5-hexynyl)-(9CI) (CA INDEX NAME)



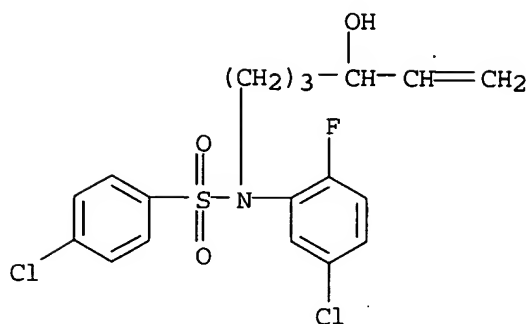
RN 691909-41-8 CAPLUS

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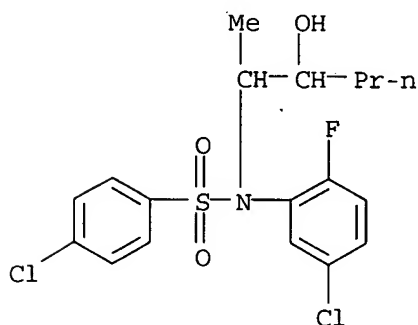


RN 691909-47-4 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-fluorophenyl)-N-(4-hydroxy-5-hexynyl)-(9CI) (CA INDEX NAME)



RN 691909-53-2 CAPLUS
 CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-fluorophenyl)-N-(2-hydroxy-1-methylpentyl)- (9CI) (CA INDEX NAME)



=> FIL REGISTRY.

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
5.84	167.38

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-0.73	-0.73

CA SUBSCRIBER PRICE

FILE 'REGISTRY' ENTERED AT 10:26:23 ON 10 JUL 2005

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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 8 JUL 2005 HIGHEST RN 854301-22-7

DICTIONARY FILE UPDATES: 8 JUL 2005 HIGHEST RN 854301-22-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when

conducting SmartSELECT searches.

 *
 * The CA roles and document type information have been removed from *
 * the IDE default display format and the ED field has been added, *
 * effective March 20, 2005. A new display format, IDERL, is now *
 * available and contains the CA role and document type information. *
 *

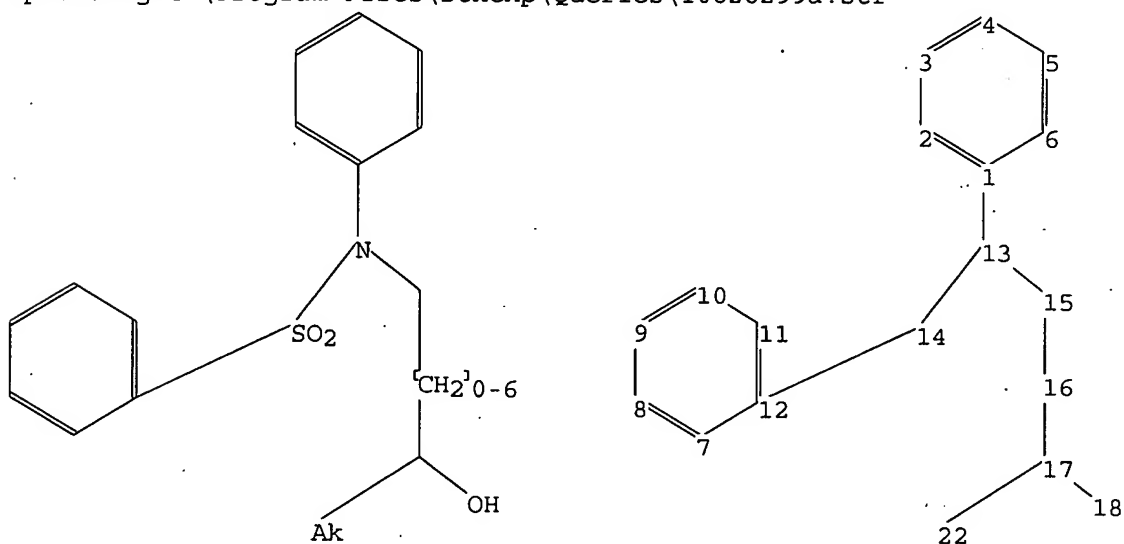
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10626299a.str



chain nodes :
 13 14 15 16 17 18 22
 ring nodes :
 1 2 3 4 5 6 7 8 9 10 11 12
 chain bonds :
 1-13 12-14 13-14 13-15 15-16 16-17 17-18 17-22
 ring bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
 exact/norm bonds :
 1-13 13-14 13-15 17-18 17-22
 exact bonds :
 12-14 15-16 16-17
 normalized bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
 isolated ring systems :
 containing 1 : 7 :

Match level :

07/10/2005 10626299.trn

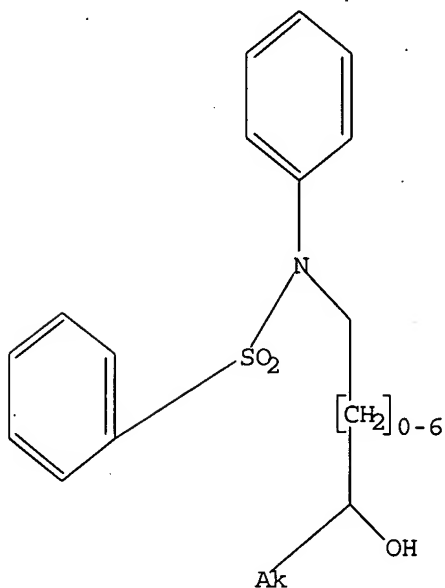
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11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
22:CLASS

L5 STRUCTURE UPLOADED

=> d 15

L5 HAS NO ANSWERS

L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 15

SAMPLE SEARCH INITIATED 10:26:47 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1485 TO ITERATE

100.0% PROCESSED 1485 ITERATIONS

27 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 27389 TO 32011

PROJECTED ANSWERS: 229 TO 851

L6 27 SEA SSS SAM L5

=> s 15 sss full

FULL SEARCH INITIATED 10:26:54 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 30040 TO ITERATE

100.0% PROCESSED 30040 ITERATIONS

SEARCH TIME: 00.00.02

496 ANSWERS

L7 496 SEA SSS FUL L5

=> FIL CAPLUS

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
161.33	328.71

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-0.73

CA SUBSCRIBER PRICE

FILE 'CAPLUS' ENTERED AT 10:27:01 ON 10 JUL 2005

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FILE COVERS 1907 - 10 Jul 2005 VOL 143 ISS 3

FILE LAST UPDATED: 8 Jul 2005 (20050708/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 17

L8

62 L7

=> s 18 and amyloid peptide

21198 AMYLOID

1655 AMYLOIDS

21286 AMYLOID

(AMYLOID OR AMYLOIDS)

332451 PEPTIDE

243122 PEPTIDES

425666 PEPTIDE

(PEPTIDE OR PEPTIDES)

2611 AMYLOID PEPTIDE

(AMYLOID(W) PEPTIDE)

L9

1 L8

AND AMYLOID PEPTIDE

=> s 18 and thu

141 THU

2247189 THUS

2247315 THU

(THU OR THUS)

L10

24 L8 AND THU

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L11      22 L10 AND P/DT

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L12      17 L11 AND US/PC

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L13      16 L12 AND PY<=2002

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L14      16 L12 AND PY<=2001

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(FILE 'HOME' ENTERED AT 10:24:43 ON 10 JUL 2005).

FILE 'REGISTRY' ENTERED AT 10:24:57 ON 10 JUL 2005

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L2          1 S L1
L3          30 S L1 SSS FULL
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FILE 'CAPLUS' ENTERED AT 10:25:26 ON 10 JUL 2005

L4 1 S L3

FILE 'REGISTRY' ENTERED AT 10:26:23 ON 10 JUL 2005

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L5          STRUCTURE UPLOADED
L6          27 S L5
L7          496 S L5 SSS FULL
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FILE 'CAPLUS' ENTERED AT 10:27:01 ON 10 JUL 2005

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L8      62 S L7
L9      1 S L8 AND AMYLOID PEPTIDE
L10     24 S L8 AND THU
L11     22 S L10 AND P/DT
L12     17 S L11 AND US/PC
L13     16 S L12 AND PY<=2002
L14     16 S L12 AND PY<=2001

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L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:414644 CAPLUS

DOCUMENT NUMBER: 140:423476

TITLE: Preparation of anti-amyloid N-alkanol derivatives of phenylsulfonamides as inhibitors of β -amyloid-peptide (β -AP) production

INVENTOR(S): Smith, David W.; Parker, Michael F.

PATENT ASSIGNEE(S) : USA

SOURCE: U.S. Pat. Appl. Publ., 15 pp.

CODEN: USXXCO

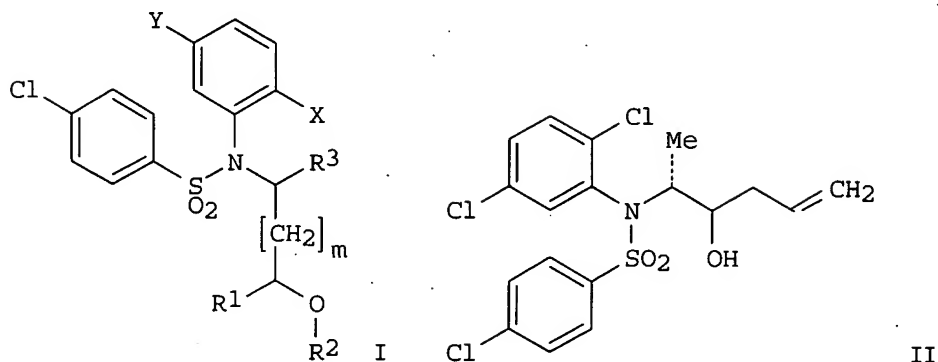
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004097572	A1	20040520	US 2003-626299	20030724
PRIORITY APPLN. INFO.:			US 2002-400241P	P 20020801
OTHER SOURCE(S):	MARPAT 140:423476			
GI				



AB The title compds. [I; X, Y = halo, hydroxymethyl, acetoxymethyl; R1 = alkyl, cycloalkyl, etc.; R2 = H, alkylcarbonyl, cycloalkylcarbonyl, etc.; R3 = H, alkyl; m = 1-6] which are inhibitors of β - amyloid peptide (β -AP) production and are useful in the treatment of Alzheimer's Disease and other conditions characterized by aberrant extracellular deposition of amyloid, were prepared. Thus, reacting allylmagnesium bromide with 4-chloro-N-(2,5-dichlorophenyl)-N-(1R)-(1-methyl-2-oxoethyl)benzenesulfonamide afforded two isomers of II. The compds. I showed IC50 of <5 μ M in the assay for inhibition of β - amyloid peptide. The pharmaceutical compns. and methods of treatment are also disclosed.

IT 691907-17-2P 691907-18-3P 691907-19-4P
 691907-20-7P 691907-22-9P 691907-23-0P
 691907-24-1P 691907-26-3P 691907-28-5P
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 691907-99-0P 691908-05-1P 691908-10-8P
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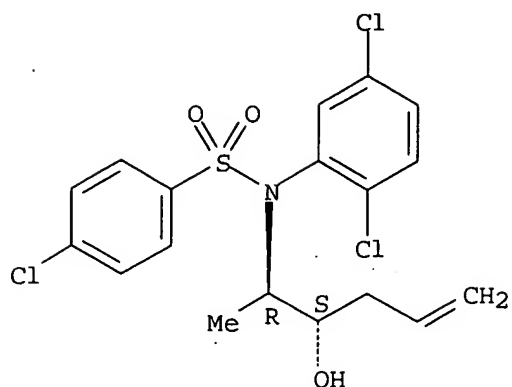
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of anti-amyloid N-(hydroxyalkyl) benzenesulfonamides as inhibitors of β - amyloid peptide (β -AP) production)

RN 691907-17-2 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R,2S)-2-hydroxy-1-methyl-4-pentenyl]- (9CI) (CA INDEX NAME)

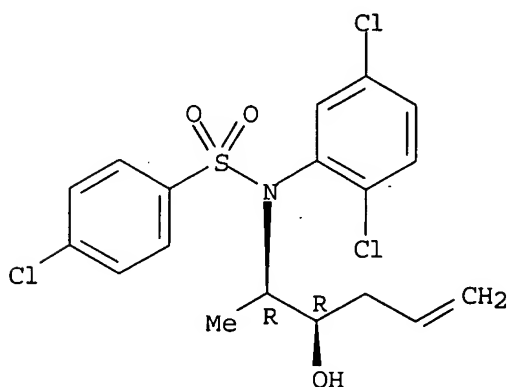
Absolute stereochemistry.



RN 691907-18-3 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R,2R)-2-hydroxy-1-methyl-4-pentenyl]- (9CI) (CA INDEX NAME)

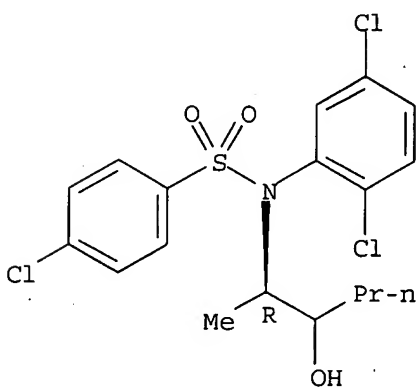
Absolute stereochemistry.



RN 691907-19-4 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R)-2-hydroxy-1-methylpentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

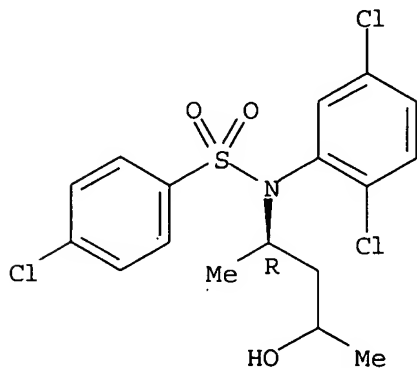


RN 691907-20-7 CAPLUS

07/10/2005 10626299.trn

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R)-3-hydroxy-1-methylbutyl]- (9CI) (CA INDEX NAME)

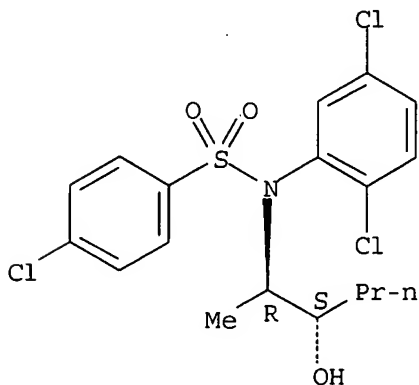
Absolute stereochemistry.



RN 691907-22-9 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R,2S)-2-hydroxy-1-methylpentyl]- (9CI) (CA INDEX NAME)

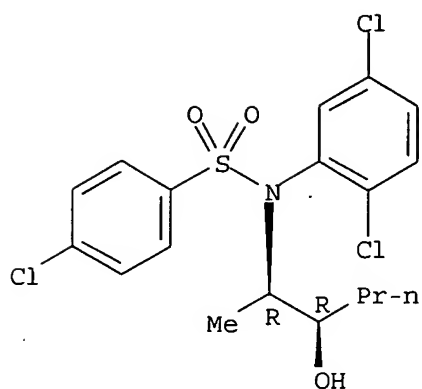
Absolute stereochemistry.



RN 691907-23-0 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R,2R)-2-hydroxy-1-methylpentyl]- (9CI) (CA INDEX NAME)

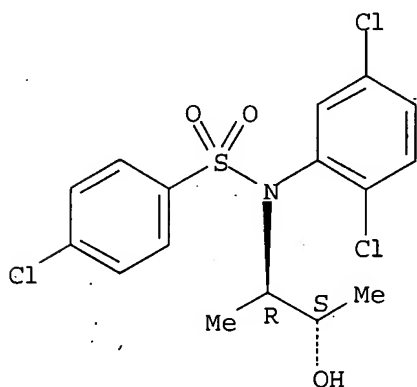
Absolute stereochemistry.



RN 691907-24-1 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R,2S)-2-hydroxy-1-methylpropyl]- (9CI) (CA INDEX NAME)

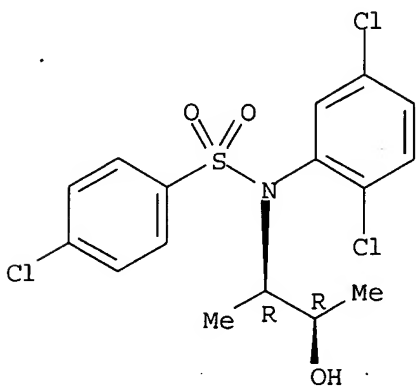
Absolute stereochemistry.



RN 691907-26-3 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R,2R)-2-hydroxy-1-methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

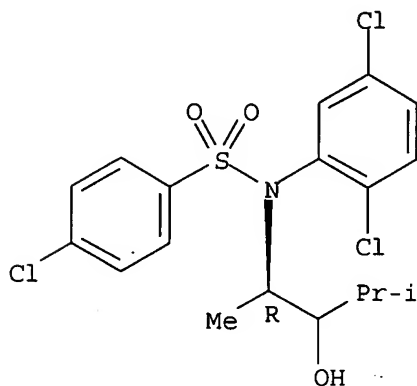


RN 691907-28-5 CAPLUS

07/10/2005 10626299.trn

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R)-2-hydroxy-1,3-dimethylbutyl]- (9CI) (CA INDEX NAME)

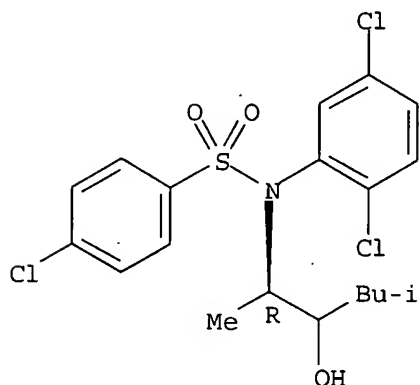
Absolute stereochemistry.



RN 691907-30-9 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R)-2-hydroxy-1,4-dimethylpentyl]- (9CI) (CA INDEX NAME)

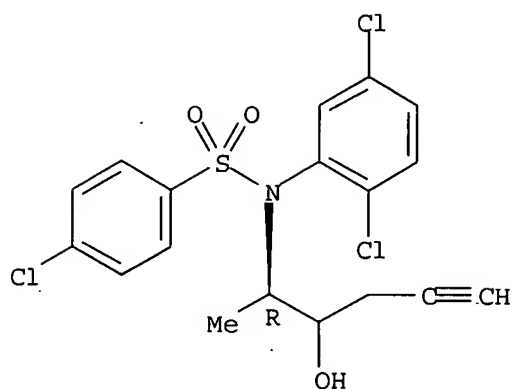
Absolute stereochemistry.



RN 691907-58-1 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R)-2-hydroxy-1-methyl-4-pentynyl]- (9CI) (CA INDEX NAME)

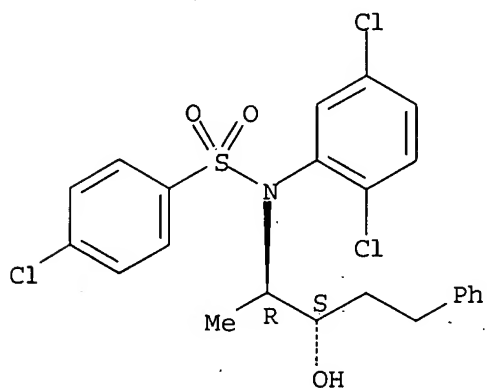
Absolute stereochemistry.



RN 691907-70-7 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R,2S)-2-hydroxy-1-methyl-4-phenylbutyl]- (9CI) (CA INDEX NAME)

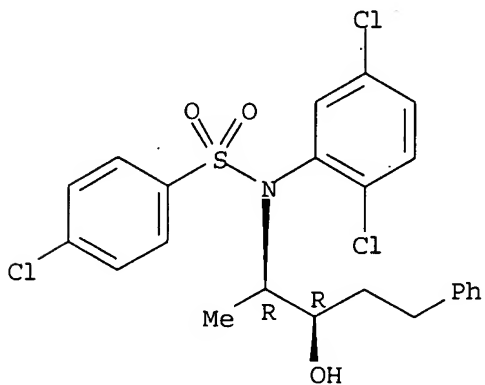
Absolute stereochemistry.



RN 691907-77-4 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R,2R)-2-hydroxy-1-methyl-4-phenylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

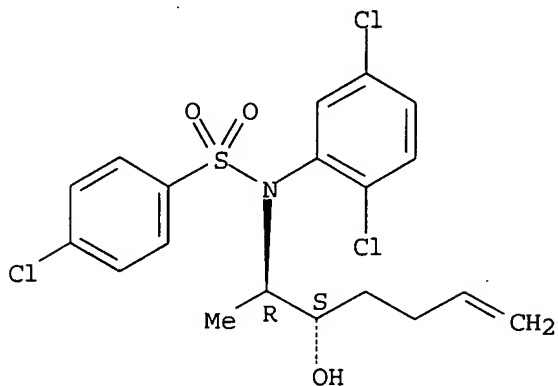


RN 691907-85-4 CAPLUS

07/10/2005 10626299.trn

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R,2S)-2-hydroxy-1-methyl-5-hexenyl]- (9CI) (CA INDEX NAME)

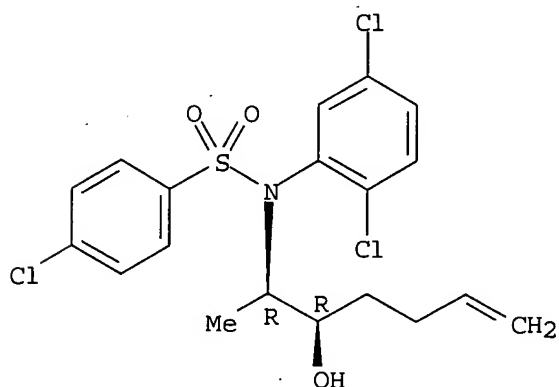
Absolute stereochemistry.



RN 691907-92-3 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R,2R)-2-hydroxy-1-methyl-5-hexenyl]- (9CI) (CA INDEX NAME)

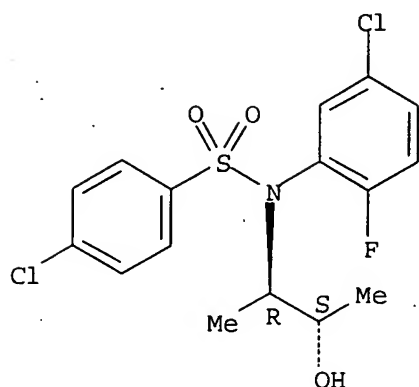
Absolute stereochemistry.



RN 691907-99-0 CAPLUS

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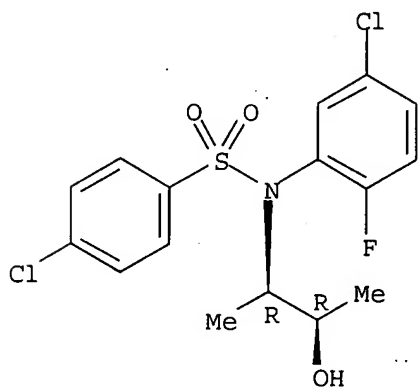
Absolute stereochemistry.



RN 691908-05-1 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-fluorophenyl)-N-[(1R,2R)-2-hydroxy-1-methylpropyl]- (9CI) (CA INDEX NAME)

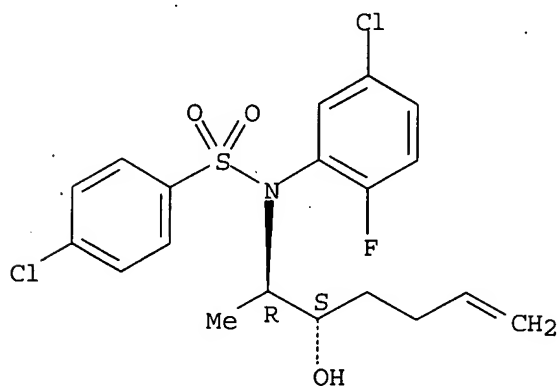
Absolute stereochemistry.



RN 691908-10-8 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-fluorophenyl)-N-[(1R,2S)-2-hydroxy-1-methyl-5-hexenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

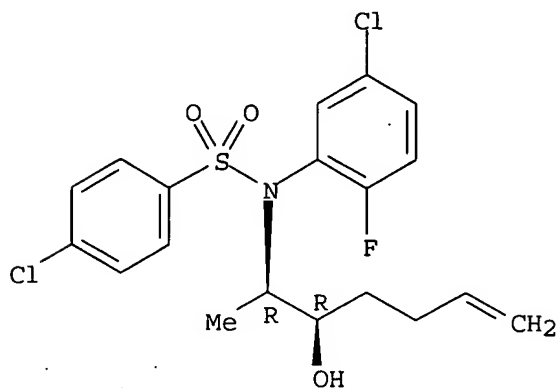


RN 691908-17-5 CAPLUS

07/10/2005 10626299.trn

CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-fluorophenyl)-N-[(1R,2R)-2-hydroxy-1-methyl-5-hexenyl]- (9CI) (CA INDEX NAME)

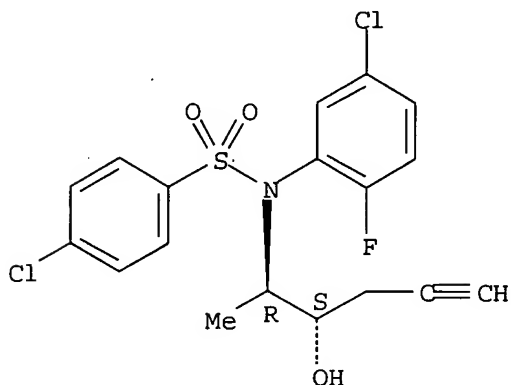
Absolute stereochemistry.



RN 691908-24-4 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-fluorophenyl)-N-[(1R,2S)-2-hydroxy-1-methyl-4-pentynyl]- (9CI) (CA INDEX NAME)

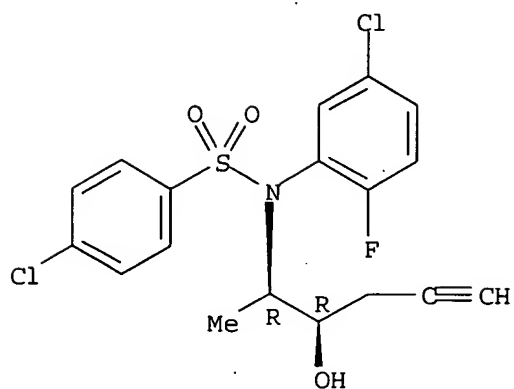
Absolute stereochemistry.



RN 691908-31-3 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-fluorophenyl)-N-[(1R,2R)-2-hydroxy-1-methyl-4-pentynyl]- (9CI) (CA INDEX NAME)

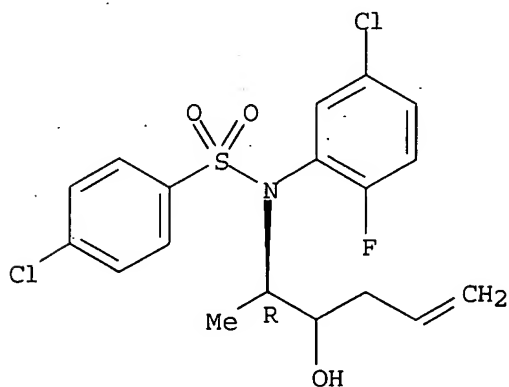
Absolute stereochemistry.



RN 691908-43-7 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-fluorophenyl)-N-[(1R)-2-hydroxy-1-methyl-4-pentenyl]- (9CI) (CA INDEX NAME)

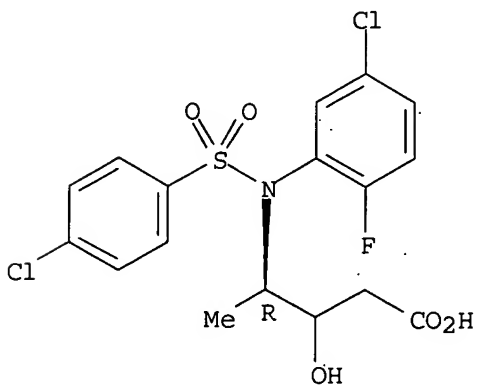
Absolute stereochemistry.



RN 691908-49-3 CAPLUS

CN D-glycero-Pentonic acid, 4-[(5-chloro-2-fluorophenyl)[(4-chlorophenyl)sulfonyl]amino]-2,4,5-trideoxy-, (3ξ)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

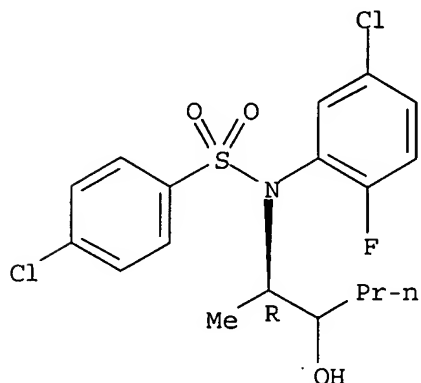


07/10/2005 10626299.trn

RN 691908-68-6 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-fluorophenyl)-N-[(1R)-2-hydroxy-1-methylpentyl]- (9CI) (CA INDEX NAME)

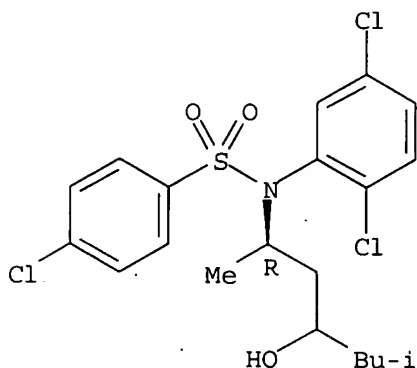
Absolute stereochemistry.



RN 691908-76-6 CAPLUS

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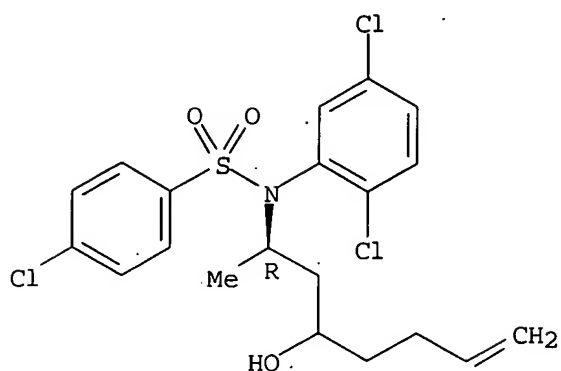
Absolute stereochemistry.



RN 691908-83-5 CAPLUS

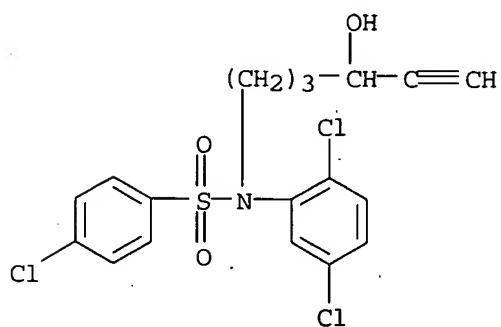
CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R)-3-hydroxy-1-methyl-6-heptenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



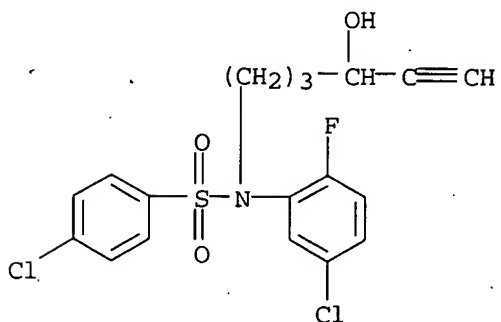
RN 691909-34-9 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-(4-hydroxy-5-hexynyl)-(9CI) (CA INDEX NAME)



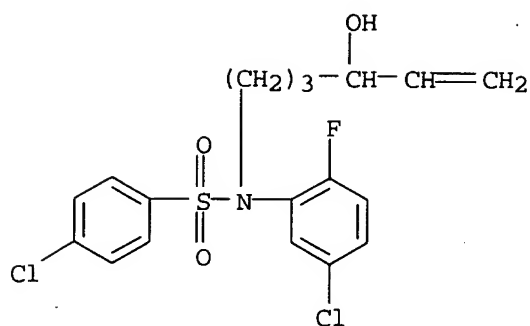
RN 691909-41-8 CAPLUS

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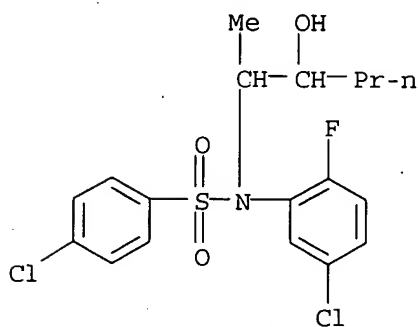


RN 691909-47-4 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-fluorophenyl)-N-(4-hydroxy-5-hexynyl)-(9CI) (CA INDEX NAME)



RN 691909-53-2 CAPLUS
 CN Benzenesulfonamide, 4-chloro-N-(5-chloro-2-fluorophenyl)-N-(2-hydroxy-1-methylpentyl)- (9CI) (CA INDEX NAME)



=> d 114 ibib abs hitstr 1-10

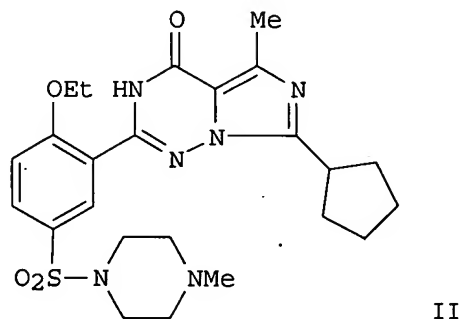
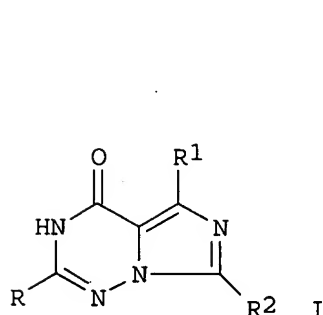
L14 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1999:811551 CAPLUS
 DOCUMENT NUMBER: 132:49985
 TITLE: 7-alkyl and cycloalkyl substituted imidazotriazinones
 INVENTOR(S): Niewoehner, Ulrich; Es-Sayed, Mazen; Haning, Helmut;
 Schenke, Thomas; Schmidt, Gunter; Schlemmer,
 Karl-Heinz; Bischoff, Erwin; Dembowski, Klaus;
 Perzborn, Elisabeth
 PATENT ASSIGNEE(S): Bayer A.-G., Germany
 SOURCE: Ger. Offen., 284 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19827640	A1	19991223	DE 1998-19827640	19980620 <--
CA 2335193	AA	19991229	CA 1999-2335193	19990611 <--
WO 9967244	A1	19991229	WO 1999-EP4032	19990611 <--

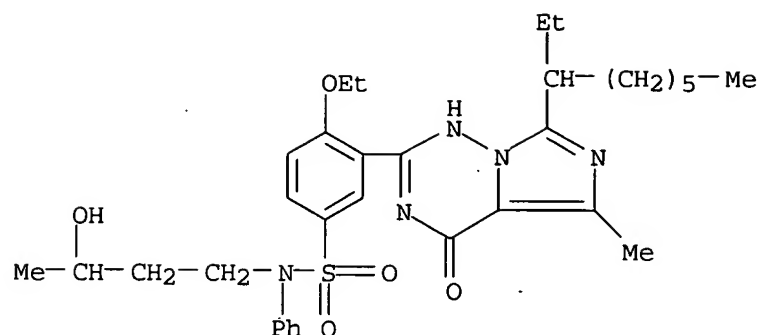
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
 DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,

JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
 MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
 TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
 MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9946080	A1	20000110	AU 1999-46080	19990611 <--
EP 1090003	A1	20010411	EP 1999-929181	19990611 <--
R: DE, ES, FR, GB, IT				
JP 2002518500	T2	20020625	JP 2000-555897	19990611
US 6476029	B1	20021105	US 2001-720051	20010323 <--
US 6838459	B1	20050104	US 2002-251939	20020920 <--
US 2005049250	A1	20050303	US 2004-850510	20040520 <--
PRIORITY APPLN. INFO.:			DE 1998-19827640	A 19980620
			WO 1999-EP4032	W 19990611
			US 2001-720051	A1 20010323
			US 2002-251939	A1 20020920
OTHER SOURCE(S):			MARPAT 132:49985	
GI				



- AB Imidazotriazinones I [R = (un)substituted aminosulfonylphenyl; R1 = alkyl; R2 = alkyl, cycloalkyl] were prepared for use as phosphodiesterase inhibitors (no data). **Thus**, DL-alanine was acylated with cyclopentanecarbonyl chloride, treated with EtO₂CCOCl, N₂H₄, and 2-EtOC₆H₄C(:NH)NH₂.HCl to give 2-(2-ethoxyphenyl)-5-methyl-7-cyclopentyl-3H-imidazo[5,1-f][1,2,4]triazin-4-one which was chlorosulfonylated and amidated with N-methylpiperazine to give the sulfonamide II.
- IT **252675-37-9P**
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of aminosulfonylphenylimidazotriazinones as phosphodiesterase inhibitors)
- RN 252675-37-9 CAPLUS
- CN Benzenesulfonamide, 4-ethoxy-3-[7-(1-ethylheptyl)-1,4-dihydro-5-methyl-4-oxoimidazo[5,1-f][1,2,4]triazin-2-yl]-N-(3-hydroxybutyl)-N-phenyl- (9CI)
 (CA INDEX NAME)



L14 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:325936 CAPLUS

DOCUMENT NUMBER: 130:352283

TITLE: Preparation of 2-phenylimidazotriazinones as phosphodiesterase inhibitors.

INVENTOR(S): Niewohner, Ulrich; Es-Sayed, Mazen; Haning, Helmut; Schenke, Thomas; Schlemmer, Karl-Heinz; Keldenich, Jorg; Bischoff, Erwin; Perzborn, Elisabeth; Dembowski, Klaus; Serno, Peter; Nowakowski, Marc

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 329 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924433	A1	19990520	WO 1998-EP6910	19981031 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19750085	A1	19990520	DE 1997-19750085	19971112 <--
DE 19812462	A1	19990930	DE 1998-19812462	19980323 <--
DE 19840289	A1	20000309	DE 1998-19840289	19980904 <--
CA 2309332	AA	19990520	CA 1998-2309332	19981031 <--
CA 2309332	C	20021203		
CA 2395558	AA	19990520	CA 1998-2395558	19981031 <--
AU 9915587	A1	19990531	AU 1999-15587	19981031 <--
AU 738675	B2	20010920		
TR 200001338	T2	20000821	TR 2000-200001338	19981031 <--
GB 2346877	A1	20000823	GB 2000-10974	19981031 <--
GB 2346877	B2	20011205		
BR 9812785	A	20001010	BR 1998-12785	19981031 <--
EP 1049695	A1	20001108	EP 1998-959821	19981031 <--
EP 1049695	B1	20020213		
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IE, SI, LT, LV, FI, RO

EE 200000291	A	20010615	EE 2000-291	19981031 <--
NZ 504436	A	20010831	NZ 1998-504436	19981031 <--
JP 2001522851	T2	20011120	JP 2000-520443	19981031 <--
JP 3356428	B2	20021216		
EP 1174431	A2	20020123	EP 2001-123321	19981031
EP 1174431	A3	20020130		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

DE 19881732	C1	20020131	DE 1998-19881732	19981031
AT 213246	E	20020215	AT 1998-959821	19981031
PT 1049695	T	20020731	PT 1998-959821	19981031
ES 2172945	T3	20021001	ES 1998-959821	19981031
JP 2002348290	A2	20021204	JP 2002-130480	19981031
CN 1123573	B	20031008	CN 1998-811092	19981031
ES 2194567	A1	20031116	ES 2000-200050033	19981031
ES 2194567	B1	20050301		
CH 693954	A	20040514	CH 2000-932	19981031
IN 188419	A	20020921	IN 1998-DE3276	19981105
ZA 9810297	A	19990520	ZA 1998-10297	19981111 <--
TW 513431	B	20021211	TW 1998-87118724	19981111
LU 90561	A1	20001201	LU 2000-90561	20000405 <--
BG 104406	A	20010831	BG 2000-104406	20000505 <--
FI 2000001086	A	20000509	FI 2000-1086	20000509 <--
FI 113772	B1	20040615		
NO 2000002444	A	20000511	NO 2000-2444	20000511 <--
NO 314940	B1	20030616		
SE 2000001745	A	20000511	SE 2000-1745	20000511 <--
SE 522809	C2	20040309		
HR 2000000292	A1	20010430	HR 2000-292	20000511 <--
MX 200004634	A	20001110	MX 2000-4634	20000512 <--
US 6362178	B1	20020326	US 2000-554162	20000721 <--
HK 1031730	A1	20041015	HK 2001-102357	20010402
US 6566360	B1	20030520	US 2001-943530	20010830 <--
NO 2002001714	A	20000511	NO 2002-1714	20020411 <--
US 2004067945	A1	20040408	US 2003-365740	20030212 <--
US 6890922	B2	20050510		
US 2005070541	A1	20050331	US 2004-923544	20040820 <--

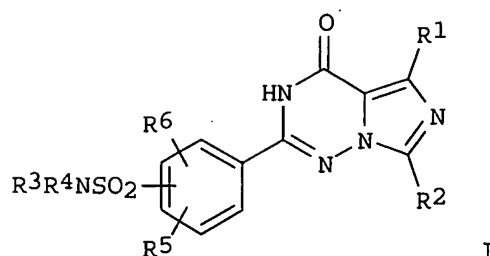
PRIORITY APPLN. INFO.:

DE 1997-19750085	A	19971112
DE 1998-19812462	A	19980323
DE 1998-19840289	A	19980904
CA 1998-2309332	A3	19981031
EP 1998-959821	A3	19981031
JP 2000-520443	A3	19981031
WO 1998-EP6910	W	19981031
NO 2000-2444	A	20000511
US 2000-554162	A1	20000721
US 2001-943530	A1	20010830
US 2003-365740	A1	20030212

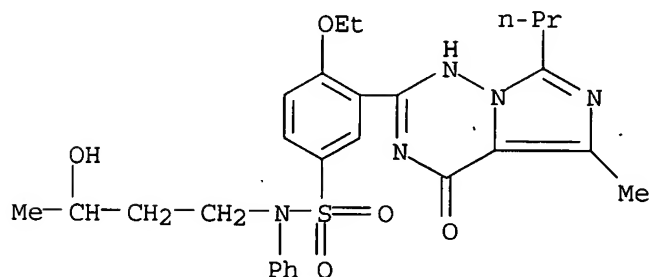
OTHER SOURCE(S):

MARPAT 130:352283

GI



- AB Title compds. [I; R1 = H, alkyl; R2 = alkyl; R3, R4 = H, alkenyl, alkoxy, (substituted) (O-interrupted) alkyl, amino, adamantyl, cycloalkyl, etc.; NR3R4 = 5-7 membered (benzo-fused) (unsatd.) heterocyclyl, etc.; R5, R6 = H, alkyl, OH, alkoxy], were prepared as cGMP-metabolizing phosphodiesterases for treating cardiovascular and cerebrovascular diseases and/or diseases of the urogenital system, especially for treating erectile dysfunction. Thus, 4-ethoxy-3-(5,7-dimethyl-4-oxo-3,4-dihydroimidazo[5,1-f][1,2,4]triazin-2-yl)benzenesulfonyl chloride (preparation given) in CH₂Cl₂ was treated with DMAP and N-methylpiperazine at 0° followed by stirring overnight to give 34.5% 2-[2-ethoxy-5-(4-methylpiperazin-1-ylsulfonyl)phenyl]-5,7-dimethyl-3H-imidazo[5,1-f][1,2,4]triazin-4-one. I inhibited phosphodiesterase V with IC₅₀ = 1-10 nM.
- IT **224786-82-7P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 2-phenylimidazotriazinones as phosphodiesterase inhibitors)
- RN 224786-82-7 CAPLUS
- CN Benzenesulfonamide, 3-(1,4-dihydro-5-methyl-4-oxo-7-propylimidazo[5,1-f][1,2,4]triazin-2-yl)-4-ethoxy-N-(3-hydroxybutyl)-N-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:175689 CAPLUS

DOCUMENT NUMBER: 130:223060

TITLE: Preparation of pentafluorobenzenesulfonamides for treating atherosclerosis and hypercholesterolemia

INVENTOR(S): Medina, Julio Cesar; Clark, David Louis; Flygare, John A.; Rosen, Terry J.; Shan, Bei

PATENT ASSIGNEE(S): Tularik Inc., USA

SOURCE: U.S., 28 pp., Cont.-in-part of U.S. Ser. No. 605,431, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

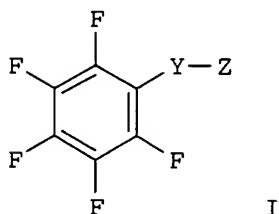
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5880151	A	19990309	US 1997-896827	19970718 <--
EP 1334719	A2	20030813	EP 2003-9125	19970222
EP 1334719	A3	20030924		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PT 896533	T	20040227	PT 1997-907843	19970222
ES 2205183	T3	20040501	ES 1997-907843	19970222
US 6121304	A	20000919	US 1999-227216	19990106 <--
US 6316484	B1	20011113	US 2000-633740	20000807 <--
US 2002143036	A1	20021003	US 2001-972743	20011005 <--
PRIORITY APPLN. INFO.:				
			US 1996-605431	B2 19960222
			EP 1997-907843	A3 19970222
			US 1997-896827	A1 19970718
			US 1999-227216	A1 19990106
			US 2000-633740	A1 20000807

OTHER SOURCE(S): MARPAT 130:223060
GI



AB The title compds. [I; Y = SO, SO₂; Z = NR₁R₂ (wherein R₁ = H, (un)substituted C1-10 alkyl, C3-6 alkenyl, C2-6 heteroalkyl; R₂ = (un)substituted Ph)], useful as pharmacol. agents in the treatment of disease states, particularly atherosclerosis, pancreatitis, hypercholesterolemia, and hyperlipoproteinemia or as lead compds. for the development of such agents, were prepared **Thus**, reaction of N,N-dimethyl-1,4-phenyldiamine.2HCl with pentafluorophenylsulfonyl chloride in pyridine afforded 63% I [Y = SO₂; Z = 4-(Me₂N)C₆H₄NH] which showed EC₅₀ of 0.5 μM for their ability to increase LDL receptor expression in Hep G2 cells.

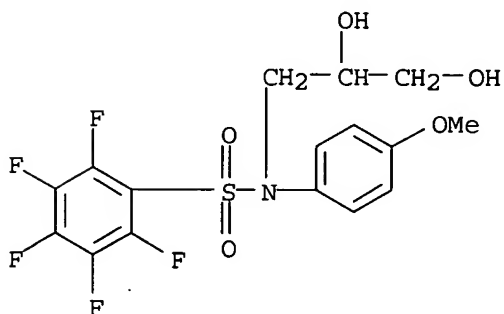
IT 195534-06-6P 195534-07-7P 195534-08-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pentafluorobenzenesulfonamides for treating atherosclerosis and hypercholesterolemia)

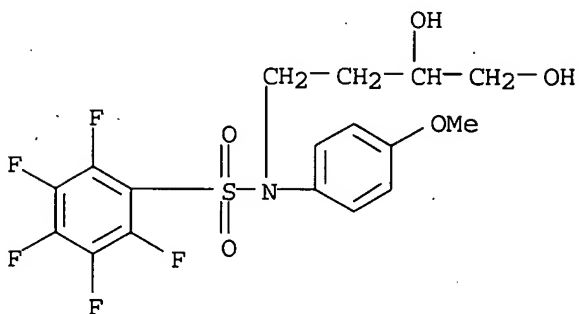
RN 195534-06-6 CAPLUS

CN Benzenesulfonamide, N-(2,3-dihydroxypropyl)-2,3,4,5,6-pentafluoro-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



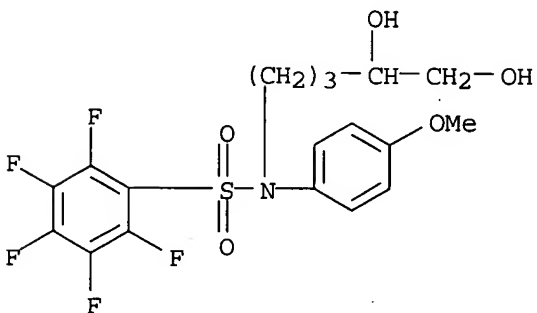
RN 195534-07-7 CAPLUS

CN Benzenesulfonamide, N-(3,4-dihydroxybutyl)-2,3,4,5,6-pentafluoro-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 195534-08-8 CAPLUS

CN Benzenesulfonamide, N-(4,5-dihydroxypentyl)-2,3,4,5,6-pentafluoro-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:424220 CAPLUS
DOCUMENT NUMBER: 129:95327

TITLE: Preparation of sulfonamide and carboxamide derivatives as drugs
 INVENTOR(S): Ohuchida, Shuichi; Nagao, Yuuki
 PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan; Ohuchida, Shuichi; Nagao, Yuuki
 SOURCE: PCT Int. Appl., 305 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9827053	A1	19980625	WO 1997-JP4593	19971212 <--
W: AU, CA, CN, HU, JP, KR, MX, NO, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
TW 523506	B	20030311	TW 1997-86118583	19971210
CA 2274954	AA	19980625	CA 1997-2274954	19971212 <--
AU 9854115	A1	19980715	AU 1998-54115	19971212 <--
AU 733493	B2	20010517		
EP 947500	A1	19991006	EP 1997-947925	19971212 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1247529	A	20000315	CN 1997-181861	19971212 <--
JP 3426252	B2	20030714	JP 1998-527533	19971212
ZA 9711336	A	19980625	ZA 1997-11336	19971217 <--
KR 2000057576	A	20000925	KR 1999-705335	19990615 <--
NO 9902935	A	19990816	NO 1999-2935	19990616 <--
MX 9905770	A	20000228	MX 1999-5770	19990618 <--
US 6448290	B1	20020910	US 1999-331327	19990618 <--
US 2003060460	A1	20030327	US 2002-207078	20020730 <--
US 6790866	B2	20040914		

PRIORITY APPLN. INFO.:

JP 1996-353818	A	19961218
JP 1997-305055	A	19971021
WO 1997-JP4593	W	19971212
US 1999-331327	A3	19990618

OTHER SOURCE(S): MARPAT 129:95327

GI For diagram(s), see printed CA Issue.

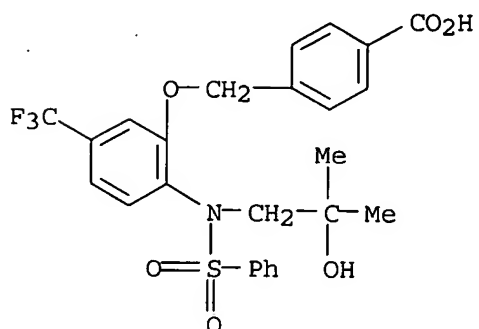
AB The title compds. (I; rings A and B represent each a carbocycle or a heterocycle; Z1 represents COR1, CH:CHCOR1, etc.; R1 represents OH, C1-4 alkoxy, etc.; Z2 represents H, alkyl, etc.; Z3 represents a single bond or alkylene; Z4 represents SO2 or CO; Z5 represents alkyl, Ph, a heterocycle, etc.; R2 represents CONR8, O, S, etc.; R8 represents H, C1-4 alkyl; R3 represents H, alkyl, halo, CF3, etc.; R4 represents H, optionally substituted alkyl, etc.; n, t = 1-4) are prepared. I bind to prostaglandin E2 (PGE2) receptors and exert an antagonism. I have the effects of inhibiting uterine muscle contraction, analgesia, inhibiting digestive tract movement, hypnosis, enlarging vesical capacity, contracting the uterine, promoting the digestive tract movement, suppressing the secretion of gastric hydrochloric acid, lowering blood pressure, or diuresis. Thus, compound (II; W = Me) was treated with aqueous NaOH and followed by aqueous HCl to give the title compound II (W = H), which showed Ki of 0.099 μ M against PGE2 receptors.

IT 209687-33-2P 209687-34-3P 209687-35-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of sulfonamide and carboxamide derivs. as drugs)

RN 209687-33-2 CAPLUS

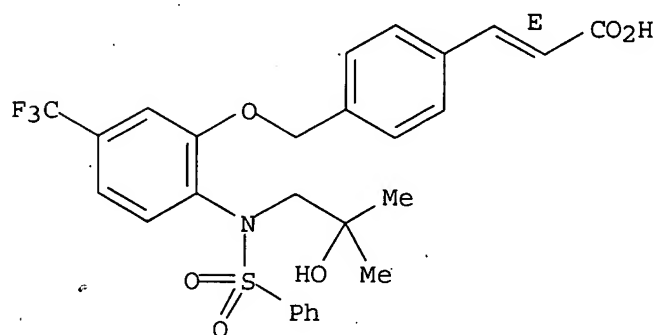
CN Benzoic acid, 4-[[2-[(2-hydroxy-2-methylpropyl)(phenylsulfonyl)amino]-5-(trifluoromethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 209687-34-3 CAPLUS

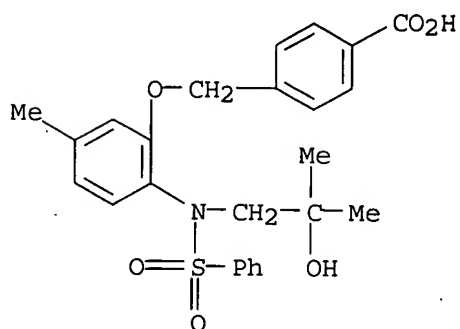
CN 2-Propenoic acid, 3-[4-[[2-[(2-hydroxy-2-methylpropyl)(phenylsulfonyl)amino]-5-(trifluoromethyl)phenoxy]methyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 209687-35-4 CAPLUS

CN Benzoic acid, 4-[[2-[(2-hydroxy-2-methylpropyl)(phenylsulfonyl)amino]-5-methylphenoxy]methyl]- (9CI) (CA INDEX NAME)



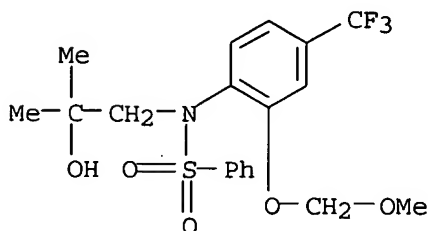
IT 209688-21-1P 209688-22-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of sulfonamide and carboxamide derivs. as drugs)

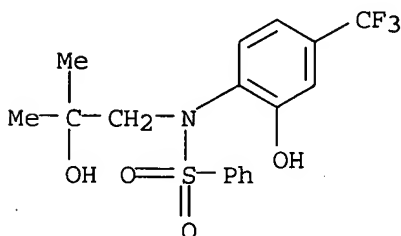
RN 209688-21-1 CAPLUS

CN Benzenesulfonamide, N-(2-hydroxy-2-methylpropyl)-N-[2-(methoxymethoxy)-4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 209688-22-2 CAPLUS

CN Benzenesulfonamide, N-(2-hydroxy-2-methylpropyl)-N-[2-hydroxy-4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:289989 CAPLUS

DOCUMENT NUMBER: 124:317189

TITLE: Preparation of phenoxyethylaminopyridazines as thrombin inhibitors.

INVENTOR(S): von der Saal, Wolfgang; Heck, Reinhard; Kucznierz, Ralf; Leinert, Herbert; Stegmeier, Karlheinz

PATENT ASSIGNEE(S): Boehringer Mannheim GmbH, Germany

SOURCE: Ger. Offen., 14 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

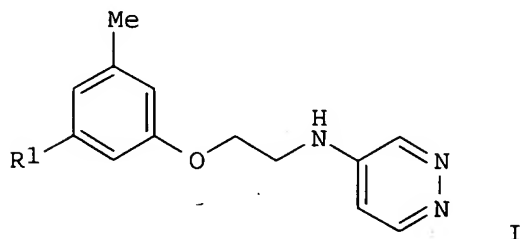
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4430757	A1	19960307	DE 1994-4430757	19940830 <--
CA 2198366	AA	19960307	CA 1995-2198366	19950826 <--
WO 9606832	A1	19960307	WO 1995-EP3383	19950826 <--
W: AU, BG, BR, BY, CA, CN, CZ, EE, FI, HU, JP, KR, KZ, MX, NO, NZ, PL, RO, RU, SI, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

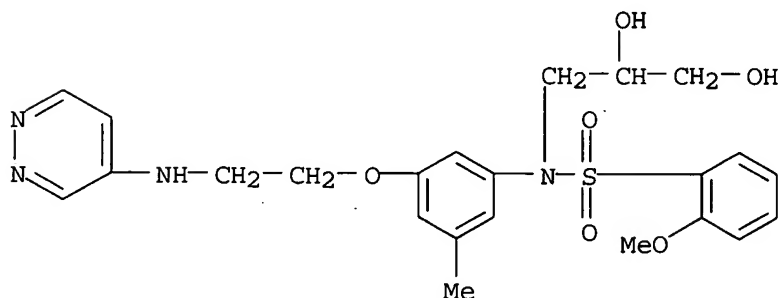
AU 9534736 A1 19960322 AU 1995-34736 19950826 <--
 EP 778829 A1 19970618 EP 1995-931212 19950826 <--
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
 JP 10504833 T2 19980512 JP 1995-508485 19950826 <--
 US 5795892 A 19980818 US 1997-793445 19970228 <--
 PRIORITY APPLN. INFO.: DE 1994-4430757 A 19940830
 WO 1995-EP3383 W 19950826
 OTHER SOURCE(S): MARPAT 124:317189
 GI



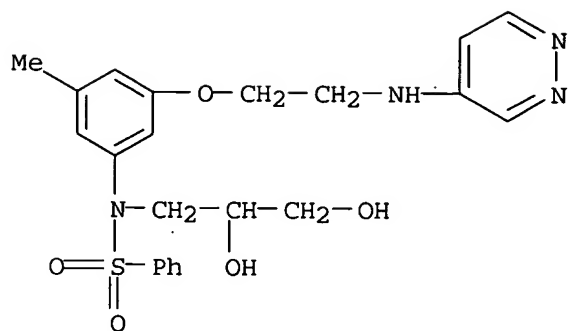
AB Title compds. [I; R1 = R2SO2O, R2SO2NR3; R2 = cycloalkyl, (substituted) aryl, heteroaryl; R3 = H, (substituted) alkyl, alkoxyalkyl], were prepared as antithrombotics (no data). Thus N-[3-(2-aminoethoxy)-5-methylphenyl]benzenesulfonamide (preparation given) was heated with 3,4,5-trichloropyridazine and Et3N in THF to give a mixture of N-[3-[2-(3,5-dichloropyridazin-4-ylamino)ethoxy]-5-methylphenyl]benzenesulfonamide and the 2,3-dichloropyridazin-4-yl isomer. The mixture was hydrogenated in MeOH in the presence of Raney Ni to give 79% N-[3-methyl-5-[2-(pyridazin-4-ylamino)ethoxy]phenyl]benzenesulfonamide.

IT 176382-13-1P 176382-14-2P 176382-15-3P
 176382-16-4P 176382-17-5P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of phenoxyethylaminopyridazines as thrombin inhibitors)

RN 176382-13-1 CAPLUS
 CN Benzenesulfonamide, N-(2,3-dihydroxypropyl)-2-methoxy-N-[3-methyl-5-[2-(4-pyridazinylamino)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

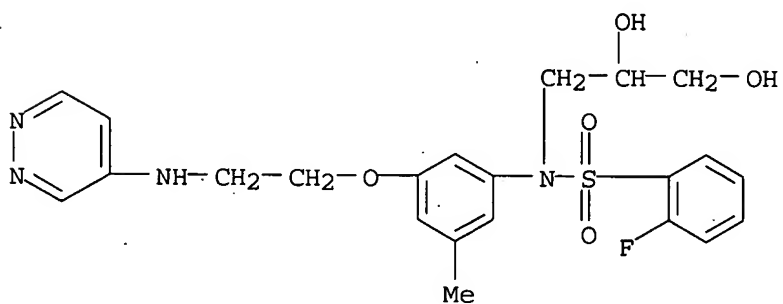


RN 176382-14-2 CAPLUS
 CN Benzenesulfonamide, N-(2,3-dihydroxypropyl)-N-[3-methyl-5-[2-(4-pyridazinylamino)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



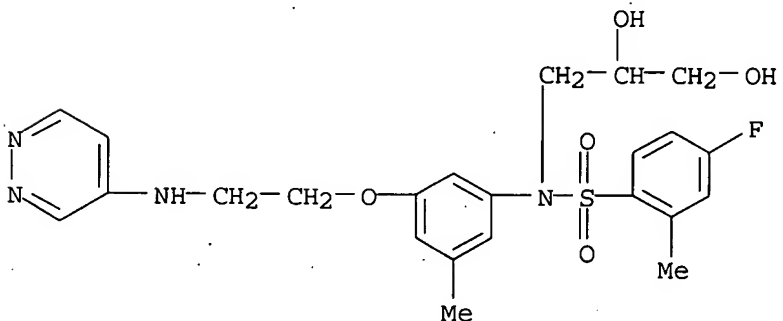
RN 176382-15-3 CAPLUS

CN Benzenesulfonamide, N-(2,3-dihydroxypropyl)-2-fluoro-N-[3-methyl-5-[2-(4-pyridazinylamino)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



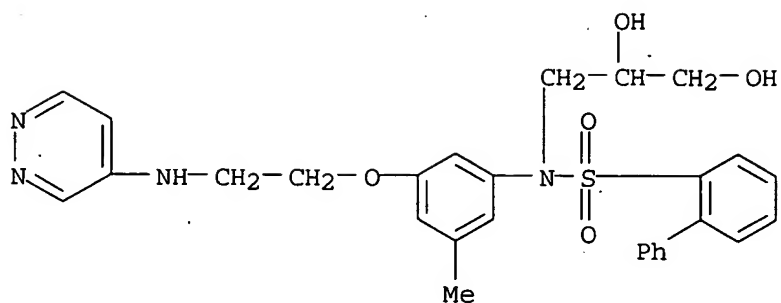
RN 176382-16-4 CAPLUS

CN Benzenesulfonamide, N-(2,3-dihydroxypropyl)-4-fluoro-2-methyl-N-[3-methyl-5-[2-(4-pyridazinylamino)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 176382-17-5 CAPLUS

CN [1,1'-Biphenyl]-2-sulfonamide, N-(2,3-dihydroxypropyl)-N-[3-methyl-5-[2-(4-pyridazinylamino)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



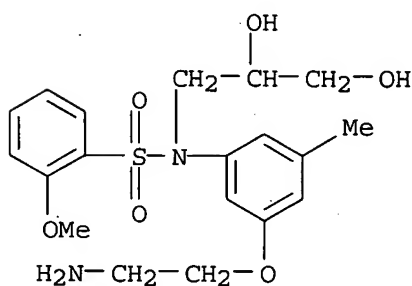
IT 176382-36-8P 176382-37-9P 176382-38-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of phenoxyethylaminopyridazines as thrombin inhibitors)

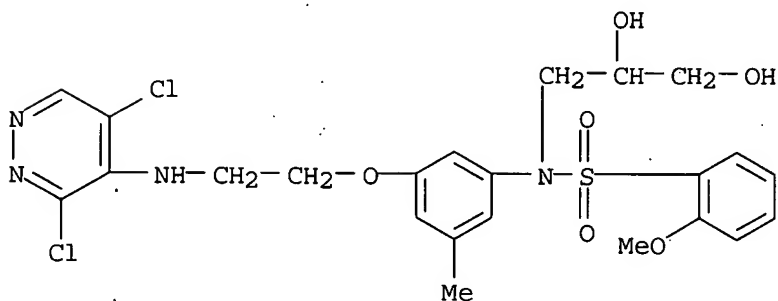
RN 176382-36-8 CAPLUS

CN Benzenesulfonamide, N-[3-(2-aminoethoxy)-5-methylphenyl]-N-(2,3-dihydroxypropyl)-2-methoxy- (9CI) (CA INDEX NAME)



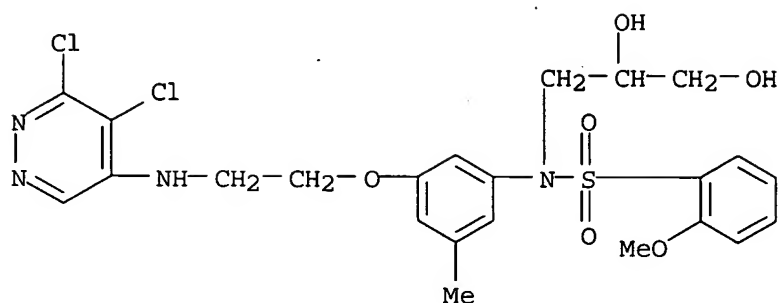
RN 176382-37-9 CAPLUS

CN Benzenesulfonamide, N-[3-[2-[(3,5-dichloro-4-pyridazinyl)amino]ethoxy]-5-methylphenyl]-N-(2,3-dihydroxypropyl)-2-methoxy- (9CI) (CA INDEX NAME)



RN 176382-38-0 CAPLUS

CN Benzenesulfonamide, N-[3-[2-[(5,6-dichloro-4-pyridazinyl)amino]ethoxy]-5-methylphenyl]-N-(2,3-dihydroxypropyl)-2-methoxy- (9CI) (CA INDEX NAME)



L14 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1984:611126 CAPLUS

DOCUMENT NUMBER: 101:211126

TITLE: p-Oxooxazolidinylbenzene compounds as antibacterial agents

INVENTOR(S): Gregory, Walter A.

PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA

SOURCE: U.S.; 21 pp. Cont.-in-part of U.S. Ser. No. 417,569, abandoned.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

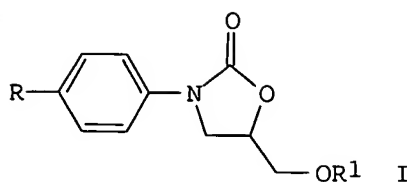
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4461773	A	19840724	US 1984-567411	19840105 <--
AU 8291032	A1	19830609	AU 1982-91032	19821201 <--
AU 560666	B2	19870416		
ES 517852	A1	19840116	ES 1982-517852	19821201 <--
ZA 8208872	A	19840725	ZA 1982-8872	19821202 <--
CA 1182824	A1	19850219	CA 1982-416882	19821202 <--
IL 67397	A1	19870331	IL 1982-67397	19821202 <--
DK 8205383	A	19830605	DK 1982-5383	19821203 <--
FI 8204182	A	19830605	FI 1982-4182	19821203 <--
FI 78078	B	19890228		
FI 78078	C	19890612		
NO 8204072	A	19830606	NO 1982-4072	19821203 <--
NO 156751	B	19870810		
NO 156751	C	19871202		
JP 58103376	A2	19830620	JP 1982-211542	19821203 <--
JP 04016471	B4	19920324		
HU 29080	O	19840130	HU 1982-3896	19821203 <--
HU 189196	B	19860630		
HU 32542	O	19840828	HU 1983-3543	19821203 <--
HU 186807	B	19850930		
SU 1194274	A3	19851123	SU 1982-3519552	19821203 <--
PRIORITY APPLN. INFO.:			US 1981-327583	A2 19811204
			US 1982-417569	A2 19820915

OTHER SOURCE(S): CASREACT 101:211126

GI



AB Phenylloxazolidinones I [R = SO₂N₃, SO₂NHNNH₂, (un)substituted sulfamoyl, carbamoyl, CR₂:NR₃; R₁ = H, alkyl, acyl, aminoacyl, carboxyacyl, HO₂CCH:CHCO; 2-carboxycyclohexanecarbonyl, 2-carboxycyclohexenecarbonyl; R₂ = H, alkyl, cycloalkyl; R₃ = amino, OR₂] were prepared. Thus, 1-I (R = MeS, R₁ = H) was dethiolated using Raney-Ni to give I (R = R₁ = H) which was trifluoroacetylated and chlorosulfonylated to give 1-I (R = ClSO₂, R₁ = COCF₃). The latter compound was treated with NH₃ to give 1-I (R = H₂NSO₂, R₁ = H), which had a min. inhibitory concentration against

Escherichia

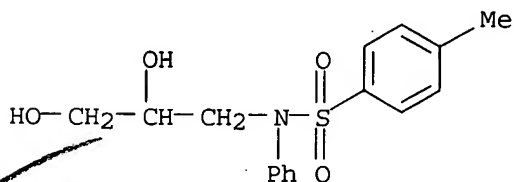
coli of 29.8 µg/mL and an oral ED₅₀ in mice against E. coli of 13.2 mg/kg.

IT 87472-05-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzoylation of)

RN 87472-05-7 CAPLUS

CN Benzenesulfonamide, N-(2,3-dihydroxypropyl)-4-methyl-N-phenyl- (9CI) (CA INDEX NAME)



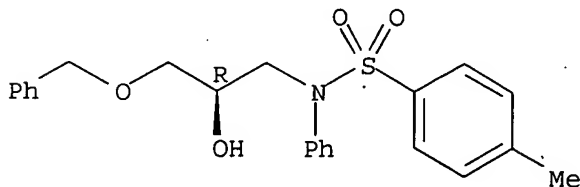
IT 87472-23-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and ether cleavage-hydrolysis of)

RN 87472-23-9 CAPLUS

CN Benzenesulfonamide, N-[2-hydroxy-3-(phenylmethoxy)propyl]-4-methyl-N-phenyl-, (R)- (9CI) (CA INDEX NAME)

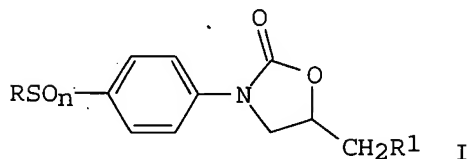
Absolute stereochemistry.



ACCESSION NUMBER: 1982:509990 CAPLUS
 DOCUMENT NUMBER: 97:109990
 TITLE: 3-(p-Alkylsulfonylphenyl)oxazolidinone derivatives as antibacterial agents
 INVENTOR(S): Fugitt, Robert Benson; Luckenbaugh, Raymond Wilson
 PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
 SOURCE: Eur. Pat. Appl., 47 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

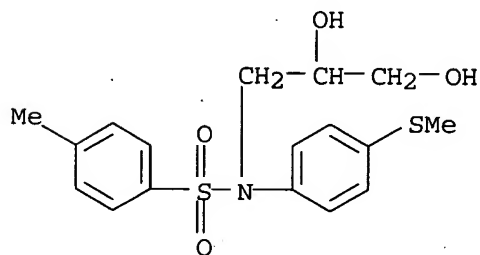
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 50827	A1	19820505	EP 1981-108603	19811021 <--
EP 50827	B1	19860430		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4340606	A	19820720	US 1980-199698	19801023 <--
AT 19516	E	19860515	AT 1981-108603	19811021 <--
DK 8104672	A	19820424	DK 1981-4672	19811022 <--
DK 150603	B	19870413		
DK 150603	C	19870928		
JP 57099576	A2	19820621	JP 1981-167999	19811022 <--
JP 02016303	B4	19900416		
CA 1167449	A1	19840515	CA 1981-388496	19811022 <--
AU 551485	B2	19860501	AU 1982-82257	19820401 <--
AU 8282257	A1	19831006		
HU 29158	O	19840130	HU 1982-1083	19820408 <--
HU 186856	B	19851028		
ZA 8202529	A	19831130	ZA 1982-2529	19820414 <--
IL 65534	A1	19851129	IL 1982-65534	19820419 <--
SU 1156597	A3	19850515	SU 1982-3426750	19820428 <--
PRIORITY APPLN. INFO.:			US 1980-199698	A 19801023
			EP 1981-108603	A 19811021

OTHER SOURCE(S): CASREACT 97:109990
 GI



AB Oxazolidinones I (R = Me, Et, CHF2, CF3, CF2CHF2; R1 = halogen, OH, acyloxy; n = 0-2) were prepared **Thus**, 4-MeSC6H4NCO was treated with epichlorohydrin to give 62% I (R = Me, R1 = Cl, n = 0) which was oxidized to I (R = Me, R1 = Cl, n = 2). The compound had a ED50 against Staphylococcus aureus in mice of 29 mg/kg orally.
 IT **82768-10-3P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and detosylation of)
 RN 82768-10-3 CAPLUS
 CN Benzenesulfonamide, N-(2,3-dihydroxypropyl)-4-methyl-N-[4-

(methylthio)phenyl]- (9CI) (CA INDEX NAME)



L14 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1981:407349 CAPLUS

DOCUMENT NUMBER: 95:7349

TITLE: Herbicidal sulfonamides, compositions containing them and their intermediates

INVENTOR(S): Adams, John Benjamin, Jr.

PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA

SOURCE: Eur. Pat. Appl., 83 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

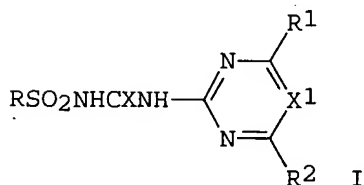
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 23422	A2	19810204	EP 1980-302536	19800724 <--
EP 23422	A3	19810408		
EP 23422	B1	19840222		
R: BE, DE, FR, GB, IT, LU, NL, SE				
US 4452628	A	19840605	US 1980-152021	19800530 <--
EP 64322	A2	19821110	EP 1982-200654	19800724 <--
EP 64322	A3	19821208		
EP 64322	B1	19850522		
R: BE, DE, FR, GB, IT, LU, NL, SE				

PRIORITY APPLN. INFO.:

US 1979-60869	A	19790726
US 1980-152021	A	19800530
EP 1980-302536	A	19800724

GI



AB Sulfonylureidopyrimidines I (R = optionally substituted Ph; R1 = Me, OMe; R2 = optionally substituted alkyl, alkoxy; X = O, S; X1 = N, CH) were prepared Thus 4-CF3OC6H4Cl was treated with ClSO3H to give 2

isomeric sulfonyl chlorides, which were aminated, treated with COCl₂, and 2-amino-4,6-dimethylpyrimidine to give I [R = 2,5-Cl(CF₃O)C₆H₃, 5,2-Cl(CF₃O)C₆H₃, R₁ = R₂ = Me, X = O, X₁ = CH]. This mixture inhibited the growth of beans and cotton at 2 kg/ha post-emergence.

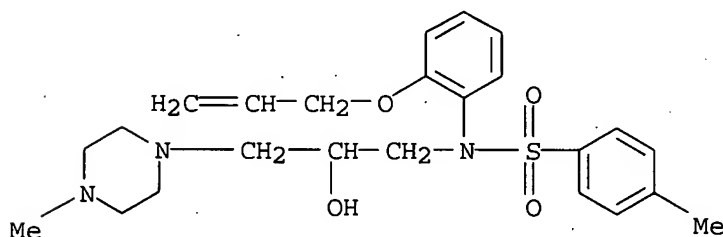
IT 77166-11-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with phosgene)

RN 77166-11-1 CAPLUS

CN Benzenesulfonamide, N-[2-hydroxy-3-(4-methyl-1-piperazinyl)propyl]-4-methyl-N-[2-(2-propenyloxy)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L14 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1975:461690 CAPLUS

DOCUMENT NUMBER: 83:61690

TITLE: Anthraquinone dyes

INVENTOR(S): Hederich, Volker; Kroeck, Friedrich W.; Gehrke, Guenter; Neeff, Ruetger

PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 44 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

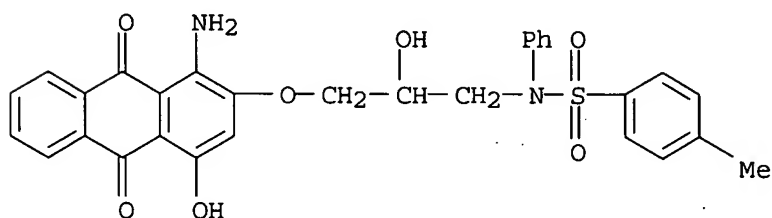
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO..	KIND	DATE	APPLICATION NO.	DATE
DE 2351517	A1	19750424	DE 1973-2351517	19731013 <--
US 3963763	A	19760615	US 1974-513485	19741009 <--
BE 820912	A1	19750410	BE 1974-149394	19741010 <--
NL 7413353	A	19750415	NL 1974-13353	19741010 <--
FR 2247510	A1	19750509	FR 1974-34361	19741011 <--
FR 2247510	B1	19781013		
JP 50067325	A2	19750606	JP 1974-116252	19741011 <--
GB 1437297	A	19760526	GB 1974-44172	19741011 <--
CH 606273	A	19781031	CH 1974-13726	19741011 <--
CH 606274	A	19781031	CH 1977-14274	19741011 <--
US 29577	E	19780314	US 1977-756707	19770104 <--
PRIORITY APPLN. INFO.:			DE 1973-2351517	A 19731013
			US 1974-513485	A5 19741009

GI For diagram(s), see printed CA Issue.

- AB Anthraquinone dyes (I, R = NH₂, OH; R₁ = OH, NH₂, PhNH, p-MeC₆H₄SO₂NH, cyclohexylamino; R₂ = Ph, MeC₆H₄, ClC₆H₄, H, CH₂CH₂OH, CH₂CH₂CH₂OH, Cl₂C₆H₃; R₃ = MeO₂C, PhO₂C, EtO₂C, MeSO₂, p-ClC₆H₄SO₂, PhSO₂, Me₂NSO₂, PhNHCO; Z = CH₂CH₂, CH₂CH₂CH₂, CH₂CHOHCH₂) were prepared and used to dye polyester, acetate, and polyamide fibers fast red shades, both from aqueous dispersions and from solvents. **Thus**, 1-amino-4-hydroxy-2-(2-anilinoethoxy)anthraquinone [55880-03-0] was dissolved in N-methylpyrrolidone at 90°, the solution cooled, and EtO₂CCl [541-41-3] added in N-methylpyrrolidone to give anthraquinone dye (II) [55880-53-0]. The other 43 I were similarly prepared
- IT **55880-13-2P**
 RL: IMF (Industrial manufacture); PREP (Preparation)
 (preparation and polyester fiber dyeing by)
- RN 55880-13-2 CAPLUS
- CN Benzenesulfonamide, N-[3-[(1-amino-9,10-dihydro-4-hydroxy-9,10-dioxo-2-anthracenyl)oxy]-2-hydroxypropyl]-4-methyl-N-phenyl- (9CI) (CA INDEX NAME)



L14 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1956:89354 CAPLUS

DOCUMENT NUMBER: 50:89354

ORIGINAL REFERENCE NO.: 50:16834b-h

TITLE: N-(3-Halo-2-hydroxypropyl)-p-aminobenzoate compounds

INVENTOR(S): Weisblat, David I.; Magerlein, Barney J.; Myers, Donald R.; Hanze, Arthur R.; Rolfson, Stanley T.

PATENT ASSIGNEE(S): Upjohn Co.

DOCUMENT TYPE: **Patent**

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2737523		19560306	US	

AB The above compds. are intermediates in the preparation of folio acids (cf. U.S. 2,673,861, C.A. 49, 1809a) and have the generic formula p-XCH₂CH(OH)CH₂N(Z)C₆H₄CO(NHCHCO₂RCH₂CH₂CO₂R') (I). **Thus**, 248 g. 2-Cl₁₀H₇SO₂Cl stirred slowly into a mixture of 300 mL. pyridine and 165.2 g. 4-H₂NC₆H₄CO₂Et (II), stirring continued an addnl. 30 min., the mixture cooled, 2 l. H₂O added, and the precipitate filtered off and crystallized from Et

Cellosolve gave 4-(2-Cl₁₀H₇SO₂NH)C₆H₄CO₂Et (III), m. 188-91°. The III **thus** prepared refluxed 1 h. with 200 g. NaOH in 6 l. H₂O, cooled, and acidified with HCl gave 300.4 g. 4-(2-Cl₁₀H₇SO₂NH)C₆H₄CO₂H (IV), m. 230-40°. IV (109 g.) stirred with 3 l. PhMe, 196 g. SO₂Cl₂ added during 30 min., the mixture refluxed 2 h., and the hot solution filtered deposited 101 g. 4-(2-Cl₁₀H₇SO₂NH)C₆H₄COCl (V), 130-40°. A

mixture of 50 g. V, 360 mL. (ClCH₂)₂, and 55.7 g. di-Am glutamate H sulfate was cooled to 15°, 29.5 g. Et₃N in 90 mL. (ClCH₂)₂ added over a 20-min. period below 20°, the mixture stirred 1.5 h., washed with 60 mL. H₂O, then with 60 mL. 2N HCl, twice with saturated NaHCO₃, and finally with 100 mL. saturated NaCl solution, dried, refrigerated overnight, a small

amount

of solid filtered off, and the (ClCH₂)₂ removed in vacuo. One-fourth of the residue was set aside and the remainder crystallized twice from 100 mL. alc. giving 22.5 g. of 4-(2-ClOH₇SO₂NH)C₆H₄CONHCH(CO₂C₅H₁₁)CH₂CH₂CO₂Et (VI), m. 123-4.5°. Similarly was prepared 4-(p-MeC₆H₄SO₂NH)C₆H₄COCl, m. 141-2°, which with di-Et L(+)-glutamate gives 4-(4-MeC₆H₄SO₂NH)C₆H₄CONHCH(CO₂Et)(CH₂)₂CO₂Et (VII), m. 126°, [α]_D²⁵ -13.2° (5% MeOH + 95% EtOH). 4-(4-MeC₆H₄SO₂NH)C₆H₄CO₂Et and 3.4 mL. 3-chloro-1,2-epoxypropane (VIII) heated to 135°, 2 drops pyridine added, the mixture cooled after 3 min., dissolved in 50 mL. EtOH, treated 3 times with decolorizing C, and the solvent evaporated gave 4-[Z(4-MeC₆H₄SO₂)N]C₆H₄CO₂Et [IX, Z = ClCH₂CH(OH)CH₂] which was used in subsequent reactions without further purification VII (2.85 g.) and 1.1 g. VIII stirred at 135°, 2 drops of pyridine added, stirring continued 5 min. and the excess VIII removed in vacuo left 4-[Z(4-MeC₆H₄SO₂)N]C₆H₄CONHCH(CO₂Et)(CH₂)₂CO₂Et (X), which was used without further purification. Sufficient 10% aqueous NaOH added dropwise to a boiling EtOH solution of IX containing 3 drops phenolphthalein indicator to

just.

maintain a pink color, and the solution diluted with water and filtered gave 4.1 g. IX (Z = 2,3-epoxypropyl), m. 71-2° (from dilute EtOH), saponified to the corresponding acid (XI), m. 124-7° (from dilute EtOH). X (1-3 g.), 20 mL. AcEt, 0.17 g. NaHCO₃, and 3 mL. H₂O refluxed 40 min., the solvents distilled in vacuo, the residue taken up in a mixture of Et₂O and H₂O containing a small proportion of alc., the Et₂O layer separated, washed with

cold

dilute H₂SO₄, water, saturated NaHCO₃ solution, twice with H₂O, and once with saturated

NaCl solution, filtered through anhydrous Na₂SO₄ and the ether distilled in vacuo

gave 0.98 g. 4-[Z(4-MeC₆H₄SO₂)N]C₆H₄CONHCH(CO₂O Et)(CH₂)₂CO₂Et (Z = allyl) as a light brown oil. A solution of 2.01 g. XI in 30 mL. 0.57N HCl in Et₂O stirred 2 h. at 25° deposited crystals during the stirring; after removal of most of the Et₂O in vacuo, 1.65 g. 4-[Z(4-MeC₆H₄SO₂)N]C₆H₄CO₂H (Z = ClCH₂CH(OH)CH₂), m. 157-61°, was recovered by filtering and drying.

IT 412274-66-9, Benzoic acid, p-[N-(3-chloro-2-hydroxypropyl)-p-toluenesulfonamido]-, ethyl ester 709675-29-6, Glutamic acid, N-[p-[N-(3-chloro-2-hydroxypropyl)-p-toluenesulfonamido]benzoyl]-, diethyl ester

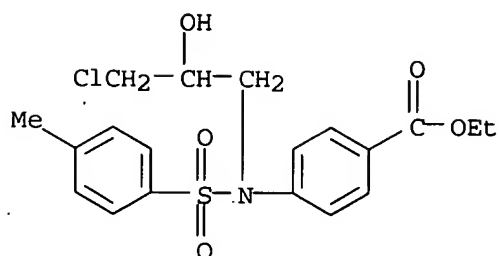
(preparation of)

RN 412274-66-9 CAPLUS

CN Benzoic acid, 4-[(3-chloro-2-hydroxypropyl)[(4-methylphenyl)sulfonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

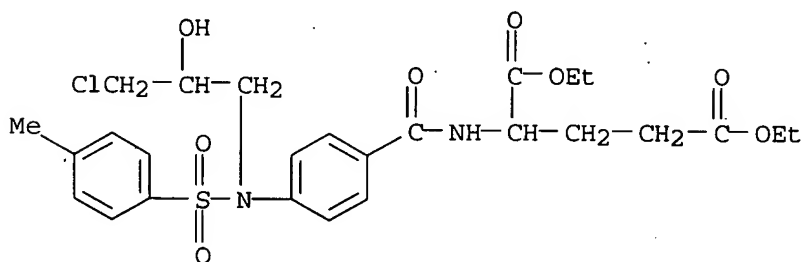
07/10/2005

10626299.trn



RN 709675-29-6 CAPLUS

CN Glutamic acid, N-{p-[N-(3-chloro-2-hydroxypropyl)-p-toluenesulfonamido]benzoyl}-, diethyl ester (5CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

69.82

398.53

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-8.03

-8.76

STN INTERNATIONAL LOGOFF AT 10:29:59 ON 10 JUL 2005